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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 4	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 5	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 6	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 7	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 8	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 9	JAN 30	Saved answer limit increased
NEWS 10	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS 11	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 12	FEB 22	Status of current WO (PCT) information on STN
NEWS 13	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 14	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 15	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 16	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 17	FEB 28	TOXCENTER reloaded with enhancements
NEWS 18	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 19	MAR 01	INSPEC reloaded and enhanced
NEWS 20	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 21	MAR 08	X.25 communication option no longer available after June 2006
NEWS 22	MAR 22	EMBASE is now updated on a daily basis

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:25:13 ON 02 APR 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:25:27 ON 02 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 MAR 2006 HIGHEST RN 878899-57-1
DICTIONARY FILE UPDATES: 31 MAR 2006 HIGHEST RN 878899-57-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

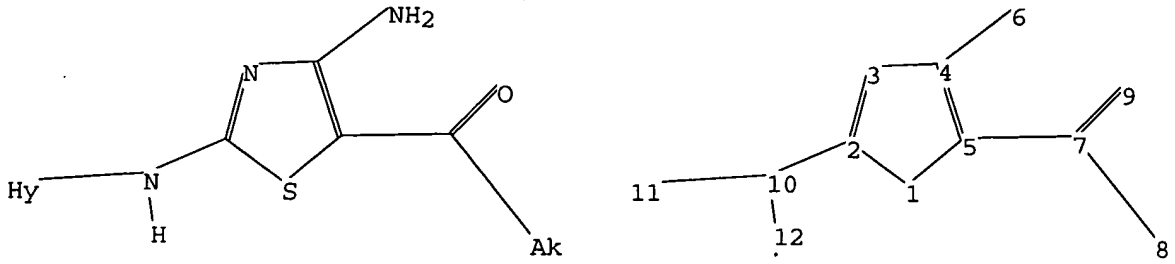
****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10783887.str

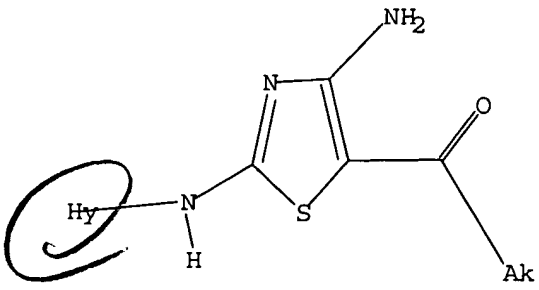


chain nodes :
6 7 8 9 10 11 12
ring nodes :
1 2 3 4 5
chain bonds :
2-10 4-6 5-7 7-8 7-9 10-11 10-12
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
2-3 2-10 3-4 4-6 7-8 7-9 10-11
exact bonds :
1-2 1-5 4-5 5-7 10-12
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:Atom 12:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 13:25:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      188 TO ITERATE

100.0% PROCESSED      188 ITERATIONS                    0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2938 TO     4582
PROJECTED ANSWERS:               0 TO        0

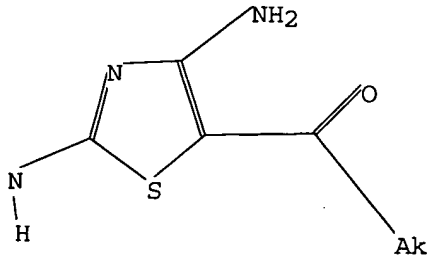
L2             0 SEA SSS SAM L1

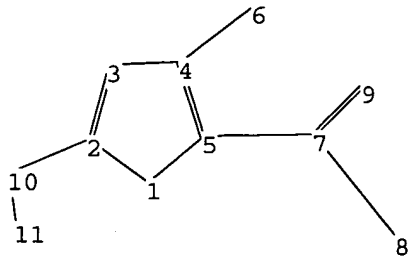
=> s l1 sss full
FULL SEARCH INITIATED 13:25:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3405 TO ITERATE

100.0% PROCESSED     3405 ITERATIONS                   1 ANSWERS
SEARCH TIME: 00.00.01

L3             1 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10783887a.str
```





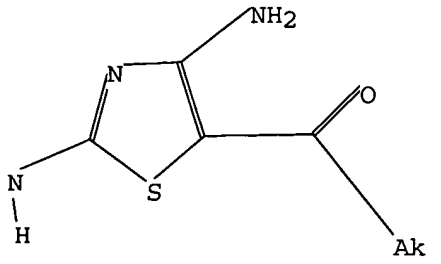

```
chain nodes :
6  7  8  9  10  11
ring nodes :
1  2  3  4  5
chain bonds :
2-10  4-6  5-7  7-8  7-9  10-11
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
2-3  2-10  3-4  4-6  7-8  7-9
exact bonds :
1-2  1-5  4-5  5-7  10-11
isolated ring systems :
containing 1 :

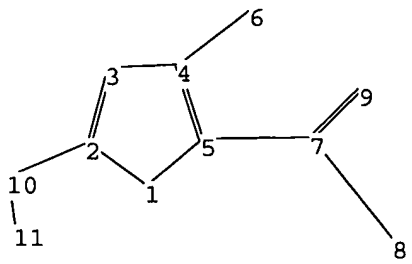

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS



L4      STRUCTURE UPLOADED
```

=>
Uploading C:\Program Files\Stnexp\Queries\10783887a.str



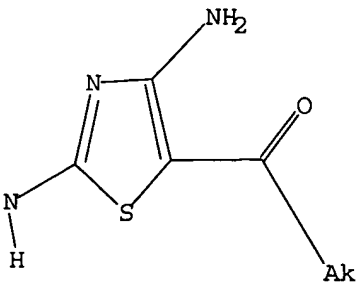


chain nodes :
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
2-10 4-6 5-7 7-8 7-9 10-11
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
2-3 2-10 3-4 4-6 7-8 7-9
exact bonds :
1-2 1-5 4-5 5-7 10-11
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS

L5 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 13:27:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 188 TO ITERATE

100.0% PROCESSED 188 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2938 TO 4582
PROJECTED ANSWERS: 3 TO 163

L6 3 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 13:27:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3405 TO ITERATE

100.0% PROCESSED 3405 ITERATIONS 40 ANSWERS
SEARCH TIME: 00.00.01

L7 40 SEA SSS FUL L4

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 334.32 334.53

FILE 'HCAPLUS' ENTERED AT 13:27:29 ON 02 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Apr 2006 VOL 144 ISS 15
FILE LAST UPDATED: 31 Mar 2006 (20060331/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 17 L7

=> s l3
L9 1 L3

=> d his

(FILE 'HOME' ENTERED AT 13:25:13 ON 02 APR 2006)

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     FILE 'REGISTRY' ENTERED AT 13:25:27 ON 02 APR 2006
L1                STRUCTURE UPLOADED
L2              0 S L1
L3              1 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5                STRUCTURE UPLOADED
L6              3 S L4
L7             40 S L4 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 13:27:29 ON 02 APR 2006
L8             17 S L7
L9              1 S L3

=> d l9 ibib abs hitstr tot

L9   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2003:261970  HCAPLUS
DOCUMENT NUMBER:          138:281150
TITLE:                    Inhibitors of glycogen synthase kinase-3 for treating
                          glaucoma
INVENTOR(S):              Hellberg, Mark R.; Clark, Abbot F.; Pang, Iok-Hou;
                          Hellberg, Peggy Elizabeth; McNatt, Loretta Graves;
                          Wang, Wan-Heng
PATENT ASSIGNEE(S):       Alcon, Inc., Switz.
SOURCE:                   PCT Int. Appl., 35 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

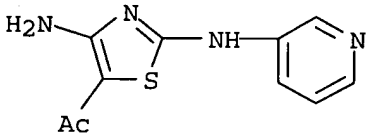
     PATENT NO.           KIND   DATE         APPLICATION NO.         DATE
     ---------------      ----   --------     --------------------    --------
     WO 2003027275         A1    20030403     WO 2002-US30059         20020923
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, SK, TR
     CA 2460000            AA     20030403     CA 2002-2460000         20020923
     EP 1430120            A1     20040623     EP 2002-799603          20020923
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     BR 2002012924         A      20050104     BR 2002-12924           20020923
     JP 2005504101         T2     20050210     JP 2003-530847          20020923
     US 2004186159         A1     20040923     US 2004-488496          20040302
     ZA 2004001846         A      20050307     ZA 2004-1846            20040305
     JP 2005320350         A2     20051117     JP 2005-211956          20050721
PRIORITY APPLN. INFO.:                          US 2001-325390P      P  20010927
                                                JP 2003-530847       A3 20020923
                                                WO 2002-US30059      W  20020923
OTHER SOURCE(S):          MARPAT 138:281150
AB   The use of inhibitors of glycogen synthase kinase-3 (GSK-3) useful for
     treating glaucoma is disclosed. The inhibitors are selected from the
     group consisting of indirubine analogs, 2,4-diaminothiazole analogs,
```

1,2,4-triazolecarboxylic acid derivs. or analogs, hymenialdesine or derivs. or analogs, and paullone analogs. Preferred inhibitors comprise 3-(1-[3-aminopropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione and 3-(1-[3-hydroxypropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione. The compds. are formulated in pharmaceutical compns. suitable for topical delivery to the eye.

IT **503546-62-1**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of glycogen synthase kinase-3 for treating glaucoma)

RN 503546-62-1 HCAPLUS

CN Ethanone, 1-[4-amino-2-(3-pyridinylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

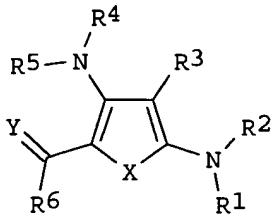
=>

=> d l8 ibib abs hitstr tot

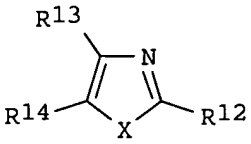
L8 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:170742 HCAPLUS
DOCUMENT NUMBER: 144:254120
TITLE: Preparation of thiophene and thiazole derivatives as PDE4B inhibitors
INVENTOR(S): Ibrahim, Prabha N.; Cho, Hanna; England, Bruce; Gillette, Sam; Artis, Dean R.; Zuckerman, Rebecca; Zhang, Chao
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 205 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006041006	A1	20060223	US 2005-123893		20050506
PRIORITY APPLN. INFO.:			US 2004-569435P	P	20040506

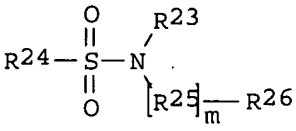
GI



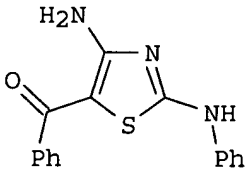
I



II



III



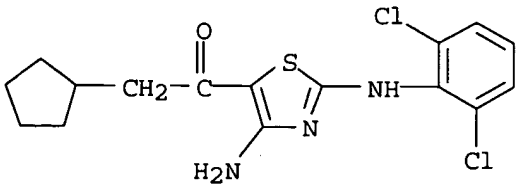
IV

AB The title compds. I [X = O, S, NR7; R1-R2, R4-R5, R7 = H, acyl, alkyl, etc.; R3 = CN, NO2, alkyl, etc.; Y = O, S; R6 = OH, alkoxy, thioalkoxy, etc.], II [X = S, O, NR15; R12 = H, alkyl, aryl, etc.; R13 = OR16, SR16, (un)substituted amino; R14 = OR16, SR16, alkyl, etc.; R15 = H, alkyl, cycloalkyl, etc.; R16 = alkyl, cycloalkyl, aryl, etc.] and III [R23 = H, alkyl, cycloalkyl, etc.; R24 = alkyl, cycloalkyl, aryl, etc.; R25, if present, is (un)substituted alkylene; R26 = (un)substituted carbocyclic or heterocyclic having 3-14 ring atoms; m = 0-3; with provisions] which are active on phosphodiesterase PDE4B are provided. E.g., a multi-step synthesis of IV, starting from 2-(4-chlorobenzyl)-2-thiopseudourea hydrochloride and Ph isothiocyanate, was given. The compound I-III were tested against various PDE4 kinases and TNFα (biol. data given). Also provided are compns. comprising compds. I-III which are useful for treatment of PDE4B-mediated diseases or conditions, and methods for the use thereof.

IT **877219-02-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophene and thiazole derivs. as PDE4B inhibitors)

RN 877219-02-8 HCAPLUS

CN Ethanone, 1-[4-amino-2-[(2,6-dichlorophenyl)amino]-5-thiazolyl]-2-cyclopentyl- (9CI) (CA INDEX NAME)

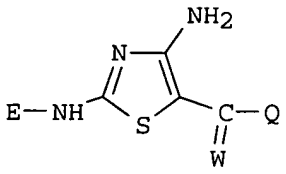


L8 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:612019 HCAPLUS
DOCUMENT NUMBER: 143:92536

TITLE: Preparation of 2,4-diaminothiazole derivatives as plant growth regulators
INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel, Nathalie; Martelletti, Arianna; Rees, Richard; Schwall, Michael; Whitford, Ryan
PATENT ASSIGNEE(S): Bayer Cropscience G.m.b.H., Germany
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063022	A1	20050714	WO 2004-EP14262	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1550372	A1	20050706	EP 2003-29844	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: EP 2003-29844 A 20031224
EP 2004-11253 A 20040512
OTHER SOURCE(S): MARPAT 143:92536
GI

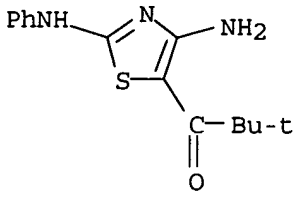


I

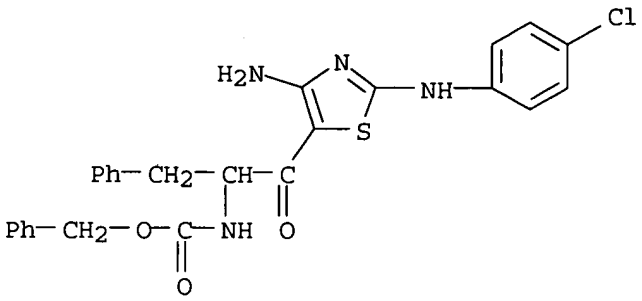
AB The 2,4-diaminothiazole derivs. I [E = (un)substituted alkyl, alkenyl, alkynyl, furfuryl, isoxazolyl, etc.; W =, O, NOH. etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, aryl, etc.] are prepared as plant growth regulators.

IT **353511-94-1P 856008-23-6P 856008-24-7P 856008-25-8P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as plant growth regulator)

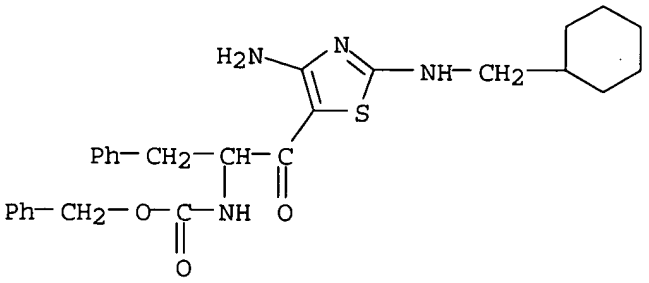
RN 353511-94-1 HCAPLUS
CN 1-Propanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



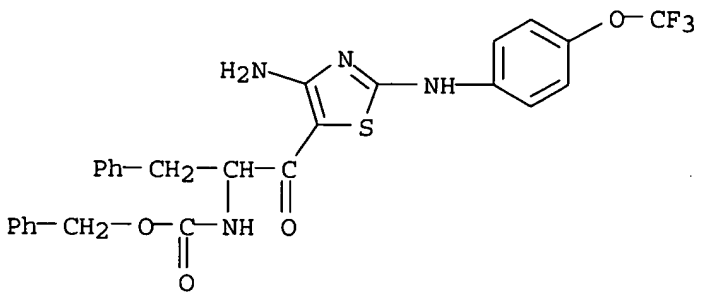
RN 856008-23-6 HCAPLUS
CN Carbamic acid, [2-[4-amino-2-[(4-chlorophenyl)amino]-5-thiazolyl]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 856008-24-7 HCAPLUS
CN Carbamic acid, [2-[4-amino-2-[(cyclohexylmethyl)amino]-5-thiazolyl]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 856008-25-8 HCAPLUS
CN Carbamic acid, [2-[4-amino-2-[[4-(trifluoromethoxy)phenyl]amino]-5-thiazolyl]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



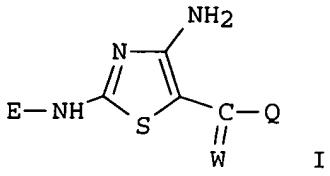
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:582483 HCAPLUS
DOCUMENT NUMBER: 143:73303
TITLE: Preparation of 2,4-diaminothiazole derivatives as plant growth regulators
INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel, Nathalie; Martelletti, Arianna; Rees, Richard; Schwall, Michael; Whitford, Ryan
PATENT ASSIGNEE(S): Bayer CropScience G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1550372	A1	20050706	EP 2003-29844	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2005063022	A1	20050714	WO 2004-EP14262	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-29844 A 20031224
EP 2004-11253 A 20040512

OTHER SOURCE(S): MARPAT 143:73303
GI



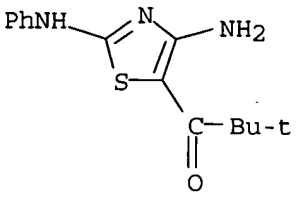
I

AB The 2,4-diamino-5-substituted-thiazole derivs. I [E = alkyl, alkenyl, alkynyl, alkoxycarbonyl, Ph, pyridinyl, etc.; W = O, NOH, etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, etc.] are prepared as plant growth regulators.

IT **353511-94-1P 856008-23-6P 856008-24-7P 856008-25-8P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as plant growth regulator)

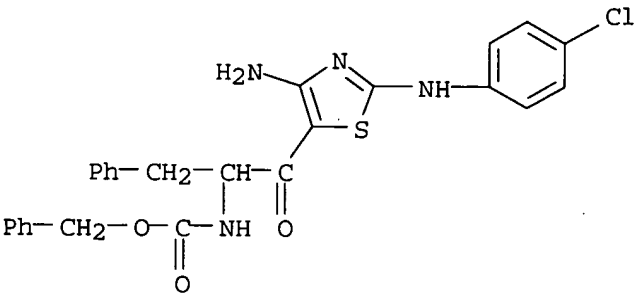
RN 353511-94-1 HCAPLUS

CN 1-Propanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



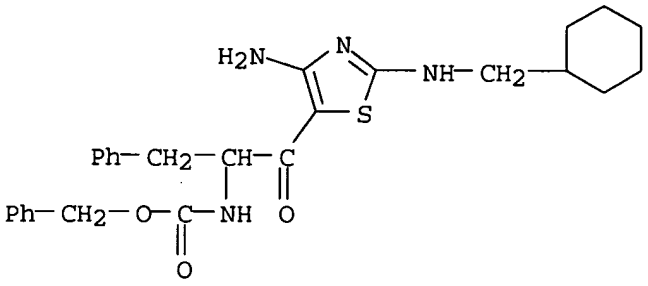
RN 856008-23-6 HCAPLUS

CN Carbamic acid, [2-[4-amino-2-[(4-chlorophenyl)amino]-5-thiazolyl]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

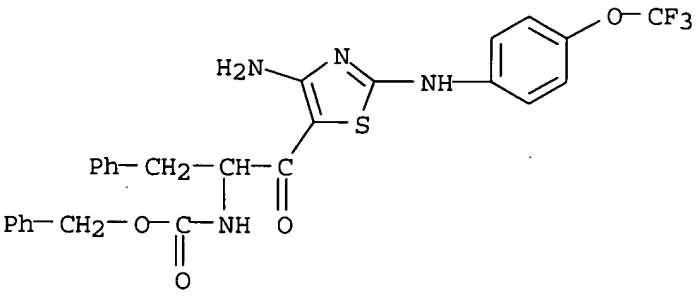


RN 856008-24-7 HCAPLUS

CN Carbamic acid, [2-[4-amino-2-[(cyclohexylmethyl)amino]-5-thiazolyl]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 856008-25-8 HCAPLUS
CN Carbamic acid, [2-[4-amino-2-[[4-(trifluoromethoxy)phenyl]amino]-5-thiazolyl]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:696372 HCAPLUS
DOCUMENT NUMBER: 141:225498
TITLE: Preparation 2-(sulfo-phenyl)-aminothiazole derivatives with antiproliferative activity
INVENTOR(S): Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Na, Jim; Schaffer, Lana; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072070	A1	20040826	WO 2004-IB287	20040203

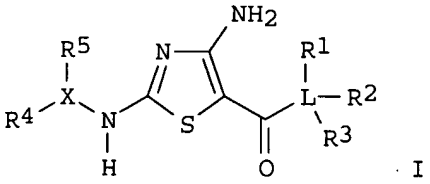
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,

GQ, GW, ML, MR, NE, SN, TD, TG

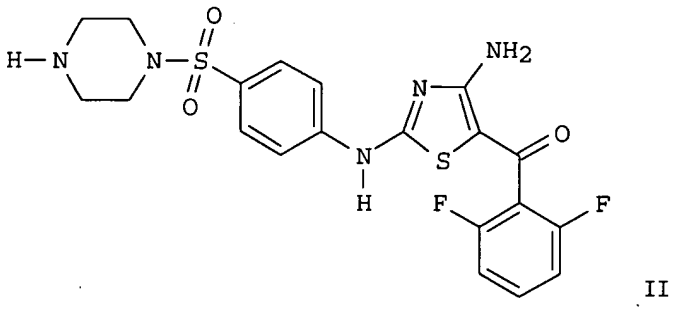
CA 2515728	AA	20040826	CA 2004-2515728		20040203
EP 1594866	A1	20051116	EP 2004-707585		20040203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004007456	A	20060131	BR 2004-7456		20040203
US 2004176431	A1	20040909	US 2004-776450		20040211
PRIORITY APPLN. INFO.:			US 2003-447329P	P	20030212
			WO 2004-IB287	W	20040203

OTHER SOURCE(S): MARPAT 141:225498

GI



I



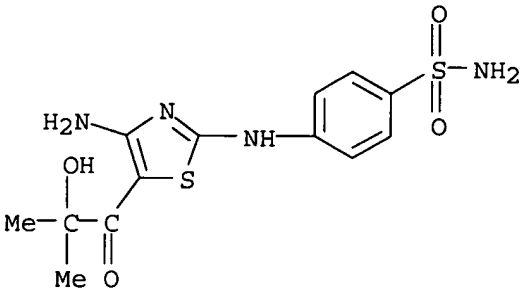
II

AB Title compds. I [X = cycloalkyl, heterocycloalkyl, aryl or heteroaryl; L = (un)substituted-alkyl, -cycloalkyl, -heterocycloalkyl, -aryl, -heteroaryl; R1 = OH, halo, alkyl, alkoxyl, acyl, amide and NO2; R2 and R3 independently = H, OH, halo, alkyl, alkoxyl, acyl, amide, amino, acetamido, and NO2; R4 = substituted-sulfonyl, -sulfoxide or -sulfanyl; R5 = H, OH, halo, alkyl, alkoxyl, acyl, amide and nitro], and their pharmaceutically acceptable salts, prodrugs, active metabolites, and pharmaceutically acceptable salts of said metabolites are prepared and disclosed as antiproliferative agents. Thus, e.g, II was prepared by cyclization of 4-isothiocyanatobenzenesulfonyl fluoride (preparation given) with 2-bromo-2',6'-difluoroacetophenone (preparation given) followed by substitution with piperazine. I were evaluated for cyclin dependent kinase activity as well as inhibition of cell growth. For example, in cell growth inhibition studies, II demonstrated an IC50 of 1.0 μM. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders.

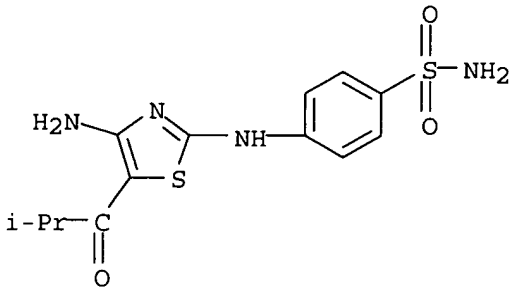
IT **746626-02-8P 746626-03-9P 746626-09-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

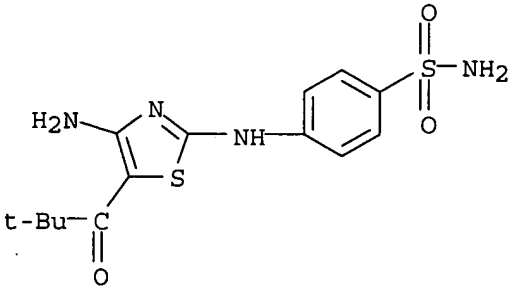
(preparation and antiproliferative activity of diaminothiazoles)
RN 746626-02-8 HCAPLUS
CN Benzenesulfonamide, 4-[[4-amino-5-(2-hydroxy-2-methyl-1-oxopropyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



RN 746626-03-9 HCAPLUS
CN Benzenesulfonamide, 4-[[4-amino-5-(2-methyl-1-oxopropyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



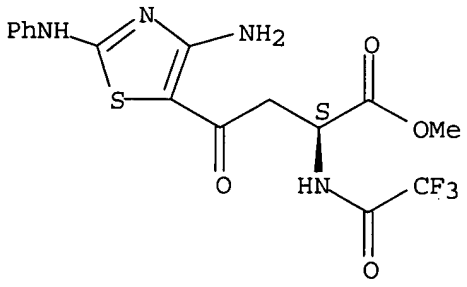
RN 746626-09-5 HCAPLUS
CN Benzenesulfonamide, 4-[[4-amino-5-(2,2-dimethyl-1-oxopropyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:994927 HCAPLUS
DOCUMENT NUMBER: 140:287674
TITLE: Reactions of (S)-N-trifluoroacetyl-5-bromo-4-oxonorvaline methyl ester with vicinal mercaptonitriles. Synthesis of δ-hetaryl-

substituted α-amino acids
AUTHOR(S): Fedorov, A. E.; Shestopalov, A. M.; Belyakov, P. A.
CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 119991, Russia
SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (2003), 52(9), 2063-2069
CODEN: RCBUEY; ISSN: 1066-5285
PUBLISHER: Kluwer Academic/Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:287674
AB The reactions of (S)-N-trifluoroacetyl-5-bromo-4-oxonorvaline Me ester with vicinal mercaptonitriles afforded δ-hetaryl-N-trifluoroacetyl-substituted α-amino acids (hetaryl is thiazol-2-yl, 2-thienyl, or thieno[2,3-b]pyridin-6-yl).
IT **676165-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of δ-heteroaryl α-amino acids from trifluoroacetylbromooxonorvaline and vicinal mercaptonitriles)
RN 676165-46-1 HCAPLUS
CN 5-Thiazolebutanoic acid, 4-amino-γ-oxo-2-(phenylamino)-α-[(trifluoroacetyl)amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

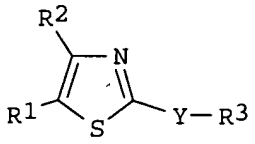


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:855916 HCAPLUS
DOCUMENT NUMBER: 139:350728
TITLE: Preparation of 2-substituted-1,3-thiazole compounds for treatment of conditions associated with glycogen synthase kinase-3
INVENTOR(S): Berg, Stefan; Hellberg, Sven
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003089419 A1 20031030 WO 2003-SE616 20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2480451 AA 20031030 CA 2003-2480451 20030415
AU 2003224547 A1 20031103 AU 2003-224547 20030415
EP 1499601 A1 20050126 EP 2003-721210 20030415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005119321 A1 20050602 US 2003-510846 20030415
JP 2005526835 T2 20050908 JP 2003-586140 20030415
PRIORITY APPLN. INFO.: SE 2002-1194 A 20020419
WO 2003-SE616 W 20030415
OTHER SOURCE(S): MARPAT 139:350728
GI



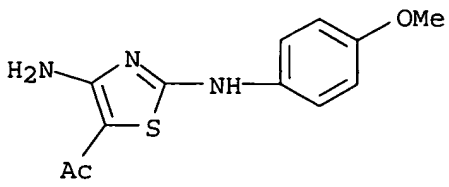
I

AB The title compds. I [Y is NR4CONR4, NR4CO, or NR4; R1 is nitro or COR5; R2 is hydrogen or NH2; R3 is C1-6alkyl or C0-6alkylaryl wherein C0-6alkylaryl may be substituted by A; R4 is hydrogen; R5 is C1-6alkyl; A is independently selected from halo, OR6 and C1-6alkyl; R6 is C1-6alkyl; provided that the compound is not N-(4-methoxybenzyl)-N'-(5-nitro-1,3-thiazol-2-yl)urea] are prepared Determination of ATP competition in scintillation proximity GSK3β assay was done : typical Ki values for compds. of this invention are 0.001 to 10000 nM.

IT **618882-40-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazole derivs. for treatment of conditions associated with glycogen synthase kinase-3)

RN 618882-40-9 HCAPLUS

CN Ethanone, 1-[4-amino-2-[(4-methoxyphenyl)amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:261970 HCAPLUS
DOCUMENT NUMBER: 138:281150
TITLE: Inhibitors of glycogen synthase kinase-3 for treating glaucoma
INVENTOR(S): Hellberg, Mark R.; Clark, Abbot F.; Pang, Iok-Hou; Hellberg, Peggy Elizabeth; McNatt, Loretta Graves; Wang, Wan-Heng
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027275	A1	20030403	WO 2002-US30059	20020923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2460000	AA	20030403	CA 2002-2460000	20020923
EP 1430120	A1	20040623	EP 2002-799603	20020923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012924	A	20050104	BR 2002-12924	20020923
JP 2005504101	T2	20050210	JP 2003-530847	20020923
US 2004186159	A1	20040923	US 2004-488496	20040302
ZA 2004001846	A	20050307	ZA 2004-1846	20040305
JP 2005320350	A2	20051117	JP 2005-211956	20050721
PRIORITY APPLN. INFO.:			US 2001-325390P P	20010927
			JP 2003-530847 A3	20020923
			WO 2002-US30059 W	20020923

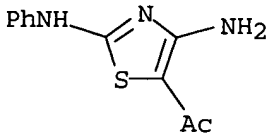
OTHER SOURCE(S): MARPAT 138:281150

AB The use of inhibitors of glycogen synthase kinase-3 (GSK-3) useful for treating glaucoma is disclosed. The inhibitors are selected from the group consisting of indirubine analogs, 2,4-diaminothiazole analogs, 1,2,4-triazolecarboxylic acid derivs. or analogs, hymenialdesine or derivs. or analogs, and paullone analogs. Preferred inhibitors comprise 3-(1-[3-aminopropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione and 3-(1-[3-hydroxypropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione.

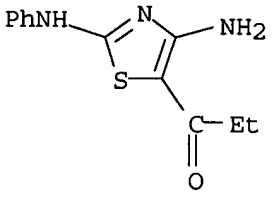
The compds. are formulated in pharmaceutical compns. suitable for topical delivery to the eye.

IT **13807-14-2 223786-60-5 503546-62-1**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of glycogen synthase kinase-3 for treating glaucoma)

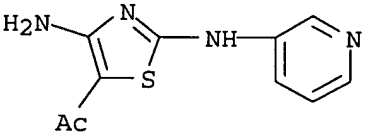
RN 13807-14-2 HCAPLUS
CN Ethanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 223786-60-5 HCAPLUS
CN 1-Propanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 503546-62-1 HCAPLUS
CN Ethanone, 1-[4-amino-2-(3-pyridinylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



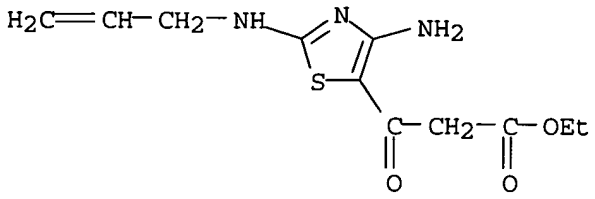
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:835624 HCAPLUS
DOCUMENT NUMBER: 139:6779
TITLE: Product class 17: thiazoles
AUTHOR(S): Kikelj, D.; Urleb, U.
CORPORATE SOURCE: Fac. Pharm., University Ljubljana, Slovenia
SOURCE: Science of Synthesis (2002), 11, 627-833
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review of synthetic methods to prepare thiazoles as well as reactive modifications of thiazole moieties.

IT **70604-13-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of thiazoles and reactions thereof)
RN 70604-13-6 HCAPLUS
CN 5-Thiazolepropanoic acid, 4-amino-β-oxo-2-(2-propenylamino)-, ethyl ester (9CI) (CA INDEX NAME)

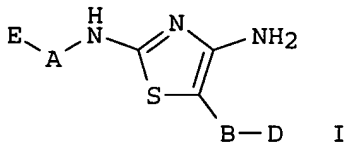


REFERENCE COUNT: 1224 THERE ARE 1224 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:581702 HCAPLUS
DOCUMENT NUMBER: 135:166823
TITLE: Preparation of 2,4-diaminothiazoles as GSK-3 inhibitors
INVENTOR(S): Bowler, Andrew Neil; Olesen, Preben Houlberg; Sorensen, Anders Robert; Hansen, Bo Falck; Worsaae, Helle; Kurtzhals, Peter
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056567	A1	20010809	WO 2001-DK73	20010201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001039275	A1	20011108	US 2001-774900	20010131
PRIORITY APPLN. INFO.:			DK 2000-187 A	20000204
			US 2000-183518P P	20000218

OTHER SOURCE(S): MARPAT 135:166823
GI

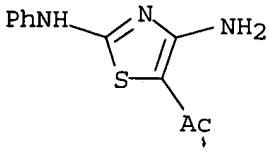


I

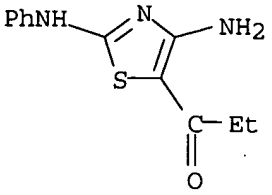
AB The title compds. [I; E = alkyl, alkenyl, alkoxy, etc.; A = a bond, alkylene, CO; B = a bond, CO, SO, etc.; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and which are useful for the treatment and/or prevention disorders and diseases wherein an inhibition of GSK-3 is beneficial, especially especially Alzheimer's disease, bipolar disorder, IGT (impaired glucose tolerance), Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. Thus, reacting 2-bromo-1-cyclopropylethanone with 1-phenyl-3-guanylthiourea afforded I [E = Ph; A = a bond; B = CO; D = cyclopropyl] which showed IC50 of < 5 μM against GSK-3.

IT **13807-14-2P 223786-60-5P 353511-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,4-diaminothiazoles as GSK-3 inhibitors)

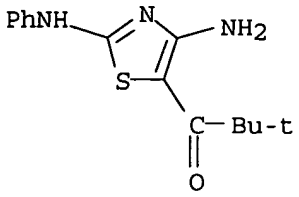
RN 13807-14-2 HCAPLUS
CN Ethanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



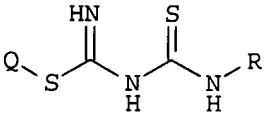
RN 223786-60-5 HCAPLUS
CN 1-Propanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



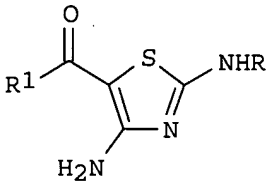
RN 353511-94-1 HCAPLUS
CN 1-Propanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:836322 HCAPLUS
DOCUMENT NUMBER: 134:162958
TITLE: A novel solid-phase approach to 2,4-diaminothiazoles
AUTHOR(S): Baer, Roman; Masquelin, Thierry
CORPORATE SOURCE: Department of Chemical Technologies, F. Hoffmann-La Roche AG, Basel, 4070, Switz.
SOURCE: Journal of Combinatorial Chemistry (2001), 3(1), 16-19
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:162958
GI



I

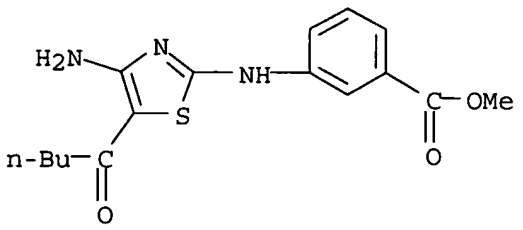


II

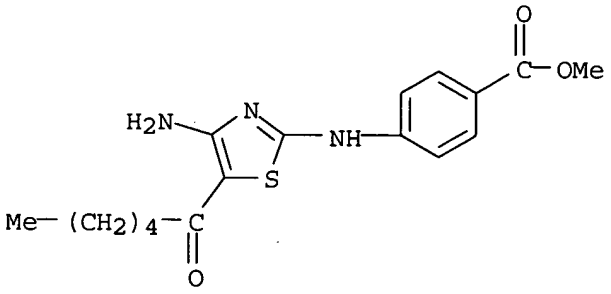
AB A novel solid-phase synthesis of a 2,4-diaminothiazole library starting from a polymer-bound thiouronium salt is described. The synthetic strategy involves formation of polymer-bound thioureido-thiourea intermediates I (R = Ph, 3-NCC6H4, MeO2CC6H4, 3-MeOC6H4, 4-F3CC6H4, MeO2CCH2, etc.; Q = resin) which by treatment with α-bromo ketones R1COCH2Br (R1 = cyclohexyl, 4-MeOC6H4, 4-FC6H4, naphthyl, 4-BrC6H4, cyclopentyl, 2-pyridinyl, pentyl, etc.) undergoes S-alkylation, followed by a base-catalyzed intramol.-ring closure/cleavage to give 2,4-diaminothiazoles II. This strategy tolerates a wide range of functionality and protecting groups. The novel feature of our method is a polymer-supported auto-scavenging strategy, which provides a clean, high-yielding, and traceless synthesis to 2,4-diaminothiazoles.

IT **325144-14-7P 325144-16-9P 325144-20-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase preparation of diaminothiazole library via cyclization of polymer-bound thioureido-thioureas with bromo ketones)

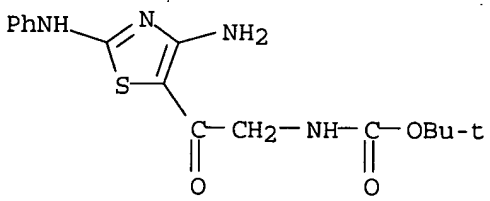
RN 325144-14-7 HCAPLUS
CN Benzoic acid, 3-[[4-amino-5-(1-oxopentyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 325144-16-9 HCAPLUS
CN Benzoic acid, 4-[[4-amino-5-(1-oxohexyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 325144-20-5 HCAPLUS
CN Carbamic acid, [2-[4-amino-2-(phenylamino)-5-thiazolyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

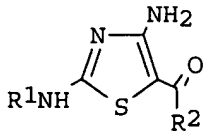
L8 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:297411 HCAPLUS
DOCUMENT NUMBER: 130:325142
TITLE: Preparation of 4-aminothiazole derivatives as inhibitors of cyclin-dependent kinases
INVENTOR(S): Chong, Wesley K. M.; Chu, Shao Song; Duvadie, Rohit R.; Li, Lin; Xiao, Wei; Yang, Yi
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921845	A2	19990506	WO 1998-US22809	19981027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306082	AA	19990506	CA 1998-2306082	19981027
AU 9913664	A1	19990517	AU 1999-13664	19981027
AU 738792	B2	20010927		
TR 200001081	T2	20001023	TR 2000-200001081	19981027
EP 1056732	A2	20001206	EP 1998-957393	19981027
EP 1056732	B1	20060111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
SI 20324	C	20010228	SI 1998-20068	19981027
EE 200000289	A	20010615	EE 2000-200000289	19981027
BR 9815200	A	20011016	BR 1998-15200	19981027
EP 1215208	A2	20020619	EP 2002-1881	19981027
EP 1215208	A3	20020904		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 503788	A	20021126	NZ 1998-503788	19981027
US 6569878	B1	20030527	US 1998-179744	19981027
NZ 517419	A	20030829	NZ 1998-517419	19981027
JP 2004500304	T2	20040108	JP 2000-517957	19981027
RO 119463	B1	20041130	RO 2000-423	19981027
AT 315553	E	20060215	AT 1998-957393	19981027
NO 2000001955	A	20000616	NO 2000-1955	20000414
LT 4855	B	20011126	LT 2000-33	20000414
HR 2000000222	A1	20010228	HR 2000-222	20000417
MX 200003812	A	20001113	MX 2000-3812	20000418
LV 12592	B	20010720	LV 2000-51	20000503
BG 104478	A	20010228	BG 2000-104478	20000526
BG 64195	B1	20040430		
US 2003220326	A1	20031127	US 2003-388851	20030313

PRIORITY APPLN. INFO.:	US 1997-63634P	P	19971027
	US 1997-63666P	P	19971028
	EP 1998-957393	A3	19981027
	NZ 1998-503788	A1	19981027
	US 1998-179744	A3	19981027
	WO 1998-US22809	W	19981027

OTHER SOURCE(S): MARPAT 130:325142

GI

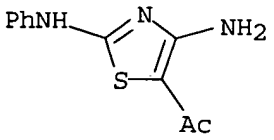


I

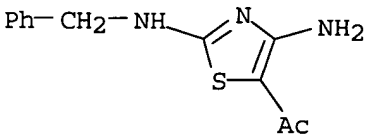
AB Title compds. [I; wherein R1 is a (un)substituted group selected from: alkyl, alkenyl, alkoxyl, alc., carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl, etc.; R2 is a carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, ring structure having a substituent at the position adjacent to the point of attachment, which ring structure is optionally further substituted, where each substituent of R independently is a halogen, haloalkyl, C-alkyl, C-alkenyl, C-alkynyl, hydroxyl, C-alkoxyl, amino, nitro, thiol, thioether, imine, cyano, amido, phosphonato, phosphine, carboxyl, thiocarbonyl, sulfonyl, sulfonamide, ketone, aldehyde, ester, oxygen, carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; or carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl], a pharmaceutically acceptable salt, a prodrug, pharmaceutically active metabolite of title compound, or pharmaceutically acceptable salt thereof, are prepared as inhibitors of cyclin-dependent kinases (CDKs: CDK1, CDK2, CDK4, and CDK6) to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds. and to methods of treating malignancies and other disorders by administering effective amts. of such compds. Thus, I (R1 = C6H5; R2 = 3-NO2C6H4) was prepared with 52% yield from cyanamide, isothiocyanate, and 2-bromo-3'-nitroacetophenone in the presence of sodium.

IT **13807-14-2P 223786-58-1P 223786-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of 4-aminothiazoles as inhibitors of cyclin-dependent kinases)

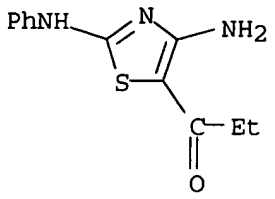
RN 13807-14-2 HCAPLUS
CN Ethanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 223786-58-1 HCAPLUS
CN Ethanone, 1-[4-amino-2-[(phenylmethyl)amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 223786-60-5 HCAPLUS
CN 1-Propanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



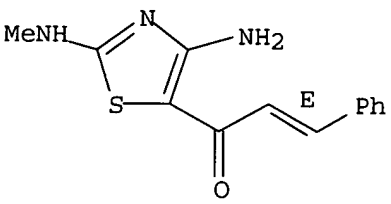
L8 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:589019 HCAPLUS
DOCUMENT NUMBER: 129:260375
TITLE: Synthesis of 2,4-diamino-5-cinnamoylthiazoles and their attempted cyclization
AUTHOR(S): Binu, R.; Deepa, S.; Rajasekharan, K. N.
CORPORATE SOURCE: Department of Chemistry, University of Kerala, Trivandrum, 695581, India
SOURCE: Synthetic Communications (1998), 28(19), 3625-3632
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthesis of 4-amino-2-aryl(or alkyl)amino-5-cinnamoylthiazoles by a [(C-N-C-S) + C] ring construction route is reported. Unlike the analogous 2'-aminochalcones, these thiazoles do not cyclize to bicyclic pyridones, nor could they be prepared from the corresponding 5-acetylthiazoles and benzaldehyde.

IT **213665-79-3P 213665-80-6P 213665-81-7P**
213665-82-8P 213665-83-9P 213665-85-1P
213665-87-3P 213665-89-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of diaminocinnamoylthiazoles)

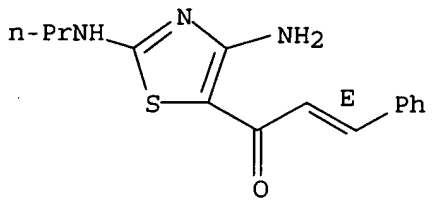
RN 213665-79-3 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-(methylamino)-5-thiazolyl]-3-phenyl-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



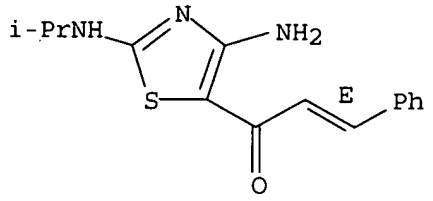
RN 213665-80-6 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-(propylamino)-5-thiazolyl]-3-phenyl-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



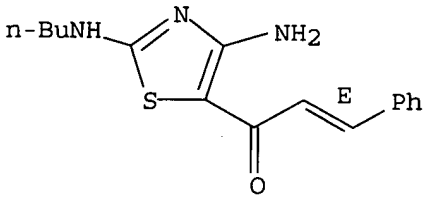
RN 213665-81-7 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-[(1-methylethyl)amino]-5-thiazolyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



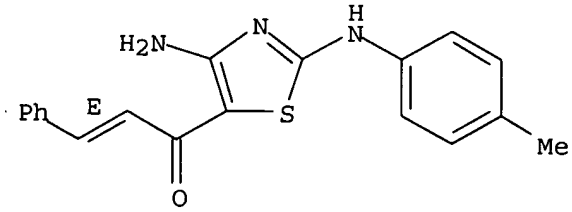
RN 213665-82-8 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-(butylamino)-5-thiazolyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



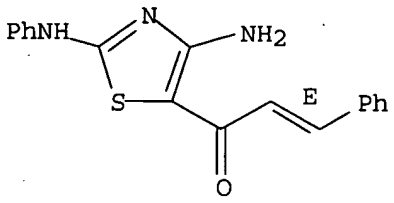
RN 213665-83-9 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-[(4-methylphenyl)amino]-5-thiazolyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



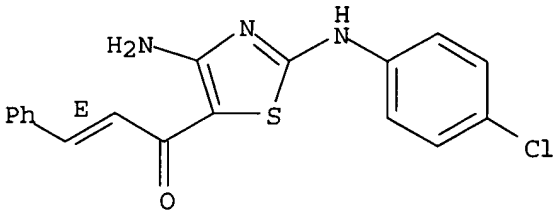
RN 213665-85-1 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-(phenylamino)-5-thiazolyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



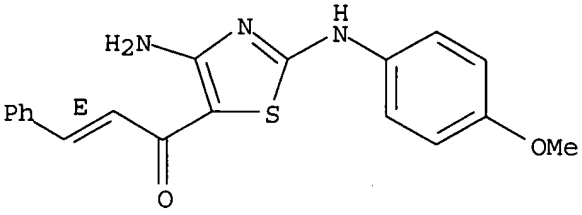
RN 213665-87-3 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-[(4-chlorophenyl)amino]-5-thiazolyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

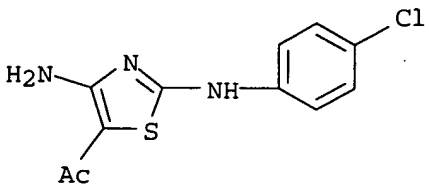


RN 213665-89-5 HCAPLUS
CN 2-Propen-1-one, 1-[4-amino-2-[(4-methoxyphenyl)amino]-5-thiazolyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

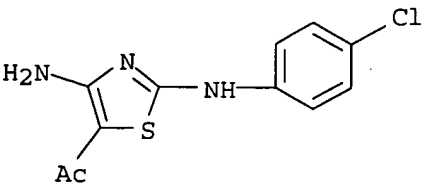


IT **196877-98-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with benzaldehyde)
RN 196877-98-2 HCAPLUS
CN Ethanone, 1-[4-amino-2-[(4-chlorophenyl)amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

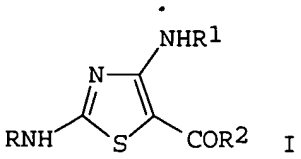
L8 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:621153 HCAPLUS
DOCUMENT NUMBER: 127:278168
TITLE: 1-[(Arylthiocarbamoyl)amidino]-3,5-dimethylpyrazoles. Preparation and use in heterocycle synthesis
AUTHOR(S): Jenardanan, G. C.; Francis, M.; Deepa, S.; Rajasekharan, K. N.
CORPORATE SOURCE: Department of Chemistry, University of Kerala, Trivandrum, 695581, India
SOURCE: Synthetic Communications (1997), 27(19), 3457-3462
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:278168
AB On reaction with α-haloketones or hydrazine, 1-[(arylthiocarbamoyl)amidino]-3,5-dimethylpyrazoles (1) afford 2,4-diaminothiazoles and 3,5-diamino-1,2,4-triazoles in good yield. A convenient route to 1 is also reported.
IT **196877-98-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 196877-98-2 HCAPLUS
CN Ethanone, 1-[4-amino-2-[(4-chlorophenyl)amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:138313 HCAPLUS
DOCUMENT NUMBER: 106:138313
TITLE: 5-Acyl-2,4-diaminothiazoles from amidinothioureas
AUTHOR(S): Rajasekharan, K. N.; Nair, K. P.; Jenardanan, G. C.
CORPORATE SOURCE: Dep. Chem., Univ. Kerala, Kerala, 695034, India
SOURCE: Synthesis (1986), (5), 353-5

CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:138313
GI

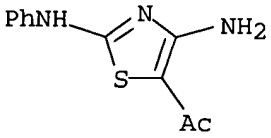


I

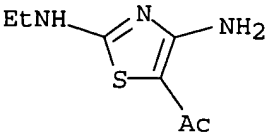
AB Cyclization of RNHC(S)NHC(:NR1)NHR1 (R = Ph, o-, p-tolyl, π-anisyl, p-ClC6H4, Me, Et, Pr, Me2CH, Bu; R1 = Ph, p-tolyl, p-ClC6H4, H) with R2COCH2Br (R2 = Ph, p-tolyl, p-ClC6H4, p-BrC6H4, Me) gave 32-94% thiazoles I.

IT **13807-14-2P 107401-79-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and spectra of)

RN 13807-14-2 HCAPLUS
CN Ethanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)

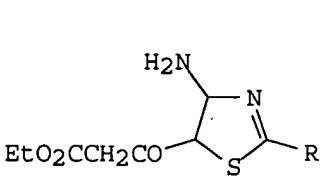


RN 107401-79-6 HCAPLUS
CN Ethanone, 1-[4-amino-2-(ethylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:491544 HCAPLUS
DOCUMENT NUMBER: 91:91544
TITLE: Reaction behavior of derivatives of imidodithiocarbonic acid. II. 7-Hydroxythiazolo[4,5-b]pyridin-5-ones
AUTHOR(S): Walek, W.; Goetzschel, K.
CORPORATE SOURCE: Forschungsber. Org. Chem. Pflanzenschutzmittel, VEB Chemiekomb. Bitterfeld, Bitterfeld, DDR-44, Ger. Dem. Rep.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1979), 321(2), 260-6

CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 91:91544
GI



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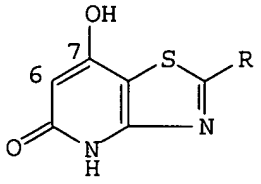


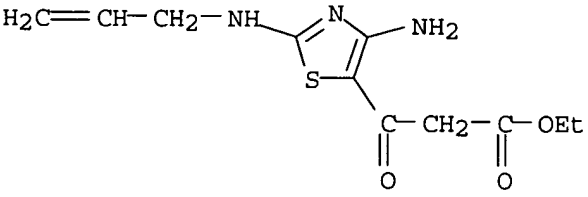
II

AB Cyclization of -SCR:NCN with BrCH2COCH2CO2Et gave the thiazoles I (R = MeS, EtS, PhCH2S, EtO, PhNH, etc.) which were cyclized by acid or base to II, which can be alkylated or acylated. The C-7 hydroxy group of II can be substituted by Cl, and sulfonation takes place in at C-6.

IT **70604-13-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 70604-13-6 HCAPLUS

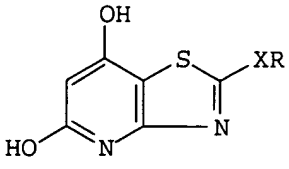
CN 5-Thiazolepropanoic acid, 4-amino-β-oxo-2-(2-propenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:420492 HCAPLUS
DOCUMENT NUMBER: 91:20492
TITLE: Thiazolo[4,5-b]pyridine-5,7-diols
INVENTOR(S): Goetzschel, Kurt; Kochmann, Werner; Pallas, Manfred; Walek, Wolfgang
PATENT ASSIGNEE(S): VEB Chemiekombinat Bitterfeld, Ger. Dem. Rep.
SOURCE: Ger. (East), 8 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DD 131933	Z	19780809	DD 1977-199857		19770704
PRIORITY APPLN. INFO.:			DD 1977-199857	A	19770704

GI



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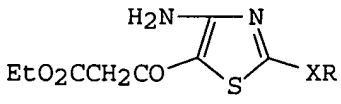


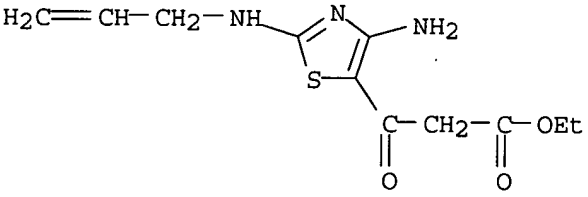
II

AB Thiazolopyridinediols I (R = aliphatic, aromatic; X = S, NH, O) were prepared by treating MSC(XR):NCN (M = alkali metal) with BrCH2COCH2CO2Et to give the thiazoles II which were cyclized to give I. Thus KSC(SPr):NCN was treated with BrCH2COCH2CO2Et to give 41.5% I (XR = SPr).

IT **70604-13-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 70604-13-6 HCAPLUS

CN 5-Thiazolepropanoic acid, 4-amino-β-oxo-2-(2-propenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:85721 HCAPLUS

DOCUMENT NUMBER: 66:85721

TITLE: 4-Aminothiazoles

AUTHOR(S): Gewald, Karl; Blauschmidt, P.; Mayer, Roland

CORPORATE SOURCE: Tech. Univ., Dresden, Fed. Rep. Ger.

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1967), 35(1-2), 97-104
CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: German

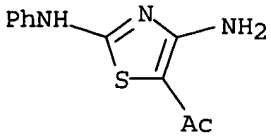
GI For diagram(s), see printed CA Issue.

AB [NCN:CS2]2- treated with halo acid derivs. as well as with chloromethyl ketones yields S-alkylation and simultaneous cyclization to 4-aminothiazole derivs. (I). Similarly, the cyanoamidothiocarbamates, prepared from cyanamide and isothiocyanates yield substituted 2,4-diaminothiazoles.

IT **13807-14-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectrum (ir and uv) of)

RN 13807-14-2 HCAPLUS

CN Ethanone, 1-[4-amino-2-(phenylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  117.28     451.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -13.50     -13.50

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STRUCTURE FILE UPDATES:   31 MAR 2006  HIGHEST RN 878899-57-1
DICTIONARY FILE UPDATES:  31 MAR 2006  HIGHEST RN 878899-57-1

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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Uploading C:\Program Files\Stnexp\Queries\10783887b.str
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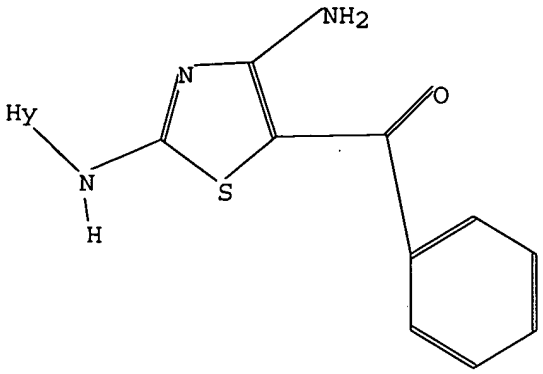

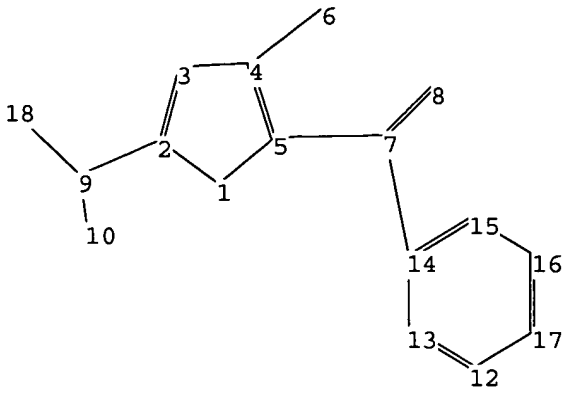

chain nodes :
6 7 8 9 10 18
ring nodes :
1 2 3 4 5 12 13 14 15 16 17
chain bonds :
2-9 4-6 5-7 7-8 7-14 9-10 9-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 12-13 12-17 13-14 14-15 15-16 16-17
exact/norm bonds :
2-3 2-9 3-4 4-6 7-8 9-18
exact bonds :
1-2 1-5 4-5 5-7 7-14 9-10
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L10 STRUCTURE UPLOADED

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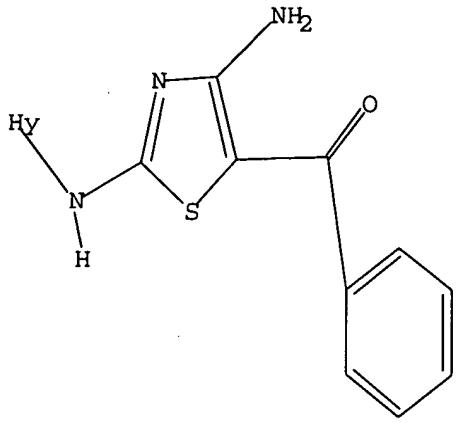
L10 HAS NO ANSWERS
L10 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:33:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      157 TO ITERATE

100.0% PROCESSED      157 ITERATIONS                                  50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2389 TO     3891
PROJECTED ANSWERS:             800 TO     1760

L11          50 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 13:34:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3065 TO ITERATE

100.0% PROCESSED     3065 ITERATIONS                                1188 ANSWERS
SEARCH TIME: 00.00.01

L12        1188 SEA SSS FUL L10

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Uploading C:\Program Files\Stnexp\Queries\10783887c.str
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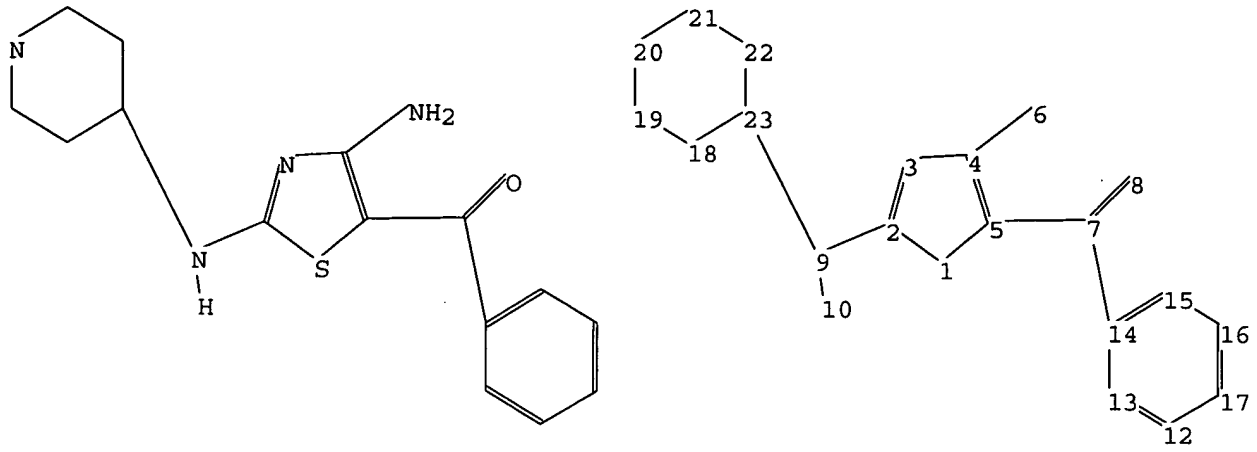
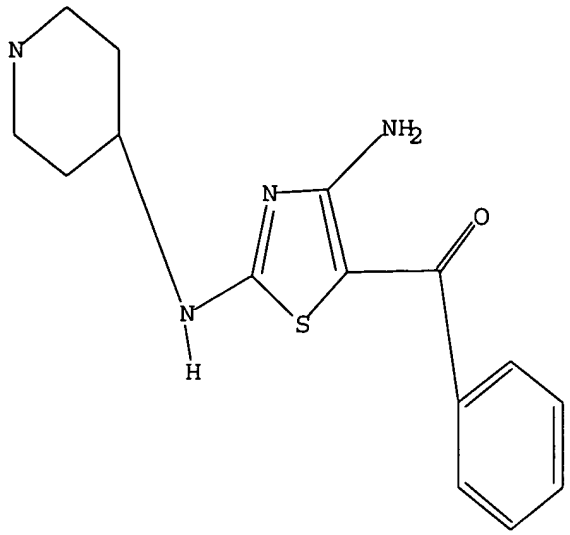


chain nodes :
6 7 8 9 10
ring nodes :
1 2 3 4 5 12 13 14 15 16 17 18 19 20 21 22 23
chain bonds :
2-9 4-6 5-7 7-8 7-14 9-10 9-23
ring bonds :
1-2 1-5 2-3 3-4 4-5 12-13 12-17 13-14 14-15 15-16 16-17 18-19 18-23
19-20 20-21 21-22 22-23
exact/norm bonds :
2-3 2-9 3-4 4-6 7-8 9-23 18-19 18-23 19-20 20-21 21-22 22-23
exact bonds :
1-2 1-5 4-5 5-7 7-14 9-10
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 18 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom

L13 STRUCTURE UPLOADED

=> d l13
L13 HAS NO ANSWERS
L13 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l13
SAMPLE SEARCH INITIATED 13:37:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      164 TO ITERATE

100.0% PROCESSED      164 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2512 TO     4048
PROJECTED ANSWERS:             704 TO     1616

L14          50 SEA SSS SAM L13

=> s l13 sss full
FULL SEARCH INITIATED 13:37:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3247 TO ITERATE

100.0% PROCESSED     3247 ITERATIONS                        1115 ANSWERS
SEARCH TIME: 00.00.01

L15        1115 SEA SSS FUL L13

=> d his

     (FILE 'HOME' ENTERED AT 13:25:13 ON 02 APR 2006)

     FILE 'REGISTRY' ENTERED AT 13:25:27 ON 02 APR 2006
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L2           0 S L1
L3           1 S L1 SSS FULL
L4             STRUCTURE UPLOADED
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L5              STRUCTURE UPLOADED
L6            3 S L4
L7           40 S L4 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 13:27:29 ON 02 APR 2006
L8           17 S L7
L9            1 S L3

     FILE 'REGISTRY' ENTERED AT 13:33:33 ON 02 APR 2006
L10             STRUCTURE UPLOADED
L11          50 S L10
L12        1188 S L10 SSS FULL
L13             STRUCTURE UPLOADED
L14          50 S L13
L15        1115 S L13 SSS FULL

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   336.52     788.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -13.50

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FILE LAST UPDATED: 31 Mar 2006  (20060331/ED)

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=> s l15
L16           3 L15
=> s l12
L17          13 L12
=> d his

     (FILE 'HOME' ENTERED AT 13:25:13 ON 02 APR 2006)
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     FILE 'REGISTRY' ENTERED AT 13:25:27 ON 02 APR 2006
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           1 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5             STRUCTURE UPLOADED
L6           3 S L4
L7          40 S L4 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 13:27:29 ON 02 APR 2006
L8          17 S L7
L9           1 S L3

     FILE 'REGISTRY' ENTERED AT 13:33:33 ON 02 APR 2006
L10            STRUCTURE UPLOADED
L11         50 S L10
L12       1188 S L10 SSS FULL
L13            STRUCTURE UPLOADED
L14         50 S L13
L15       1115 S L13 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 13:38:08 ON 02 APR 2006
L16          3 S L15
L17         13 S L12
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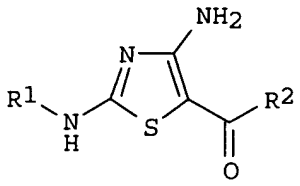
=> d l16 ibib abs hitstr tot

L16 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:54950 HCAPLUS
DOCUMENT NUMBER: 144:150357
TITLE: Preparation of novel 2,4-diamino-5-benzoylthiazoles as inhibitors of cyclin-dependent kinases for treating cancer
INVENTOR(S): Chen, Li; Chu, Xin-Jie; Lovey, Allen John; Zhao, Chunlin
PATENT ASSIGNEE(S): F.Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006005508	A1	20060119	WO 2005-EP7342	20050707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006014958	A1	20060119	US 2005-170636	20050629

PRIORITY APPLN. INFO.: US 2004-588184P P 20040715
OTHER SOURCE(S): MARPAT 144:150357
GI



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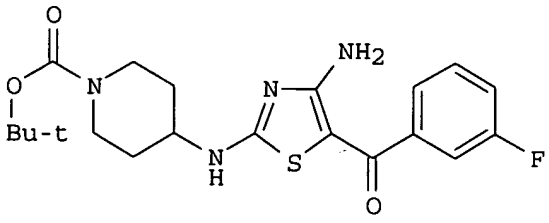


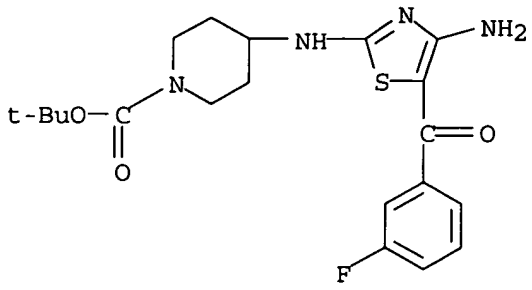
II

AB The title compds. I [R1 = alkyl substituted by aryl, 1-(un)substituted 4-piperidinyl, (un)substituted Ph; R2 = (hetero)aryl, cycloalkyl, heterocyclyl, etc.] which inhibit cyclin-dependent kinases, were prepared and formulated. E.g., a multi-step synthesis of II, starting from tert-Bu 4-aminopiperidine-1-carboxylate, was given. The compds. I exhibited cdk4 activity with Ki values of less than 3 μM, preferably less than 0.5 μM; cdk2 activity with Ki values of less than 8 μM, preferably less than 0.5 μM, and cdk1 activity with Ki values of less than 10 μM, preferably less than 0.5 μM. Compds. I and their pharmaceutically acceptable salts and esters have antiproliferative activity and are useful in the treatment or control of cancer, in particular solid tumors. This invention is also directed to pharmaceutical compns. containing such compds. I and to methods of treating or controlling cancer, most particularly the treatment or control of breast, lung, colon and prostate tumors. Also disclosed are intermediates useful in the preparation of these novel 4-aminothiazole derivs.

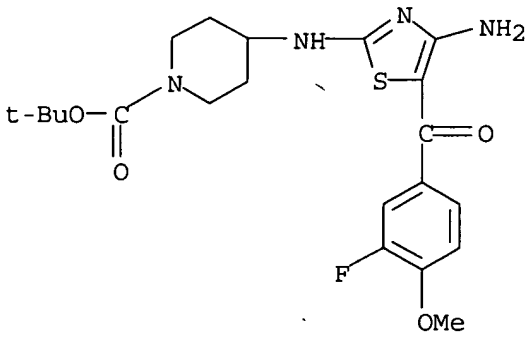
IT **874114-49-5P 874114-50-8P 874114-51-9P**
874114-52-0P 874114-53-1P 874114-54-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel 2,4-diamino-5-benzoylthiazoles as inhibitors of cyclin-dependent kinases for treating cancer)

RN 874114-49-5 HCAPLUS

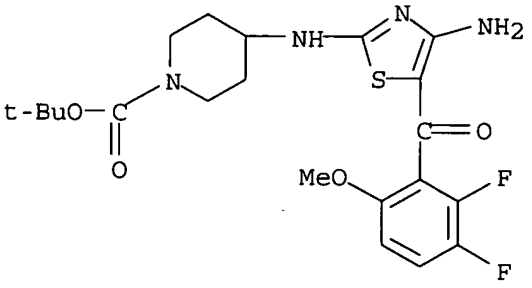
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(3-fluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



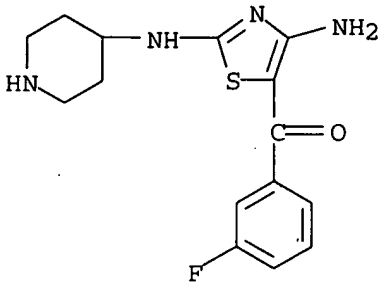
RN 874114-50-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(3-fluoro-4-methoxybenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



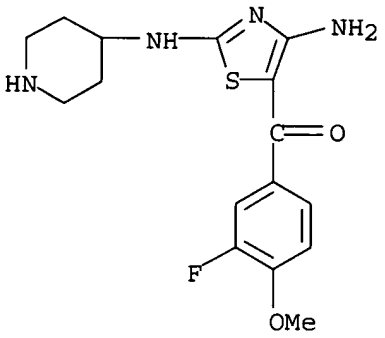
RN 874114-51-9 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,3-difluoro-6-methoxybenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



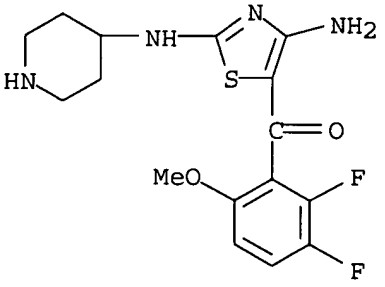
RN 874114-52-0 HCAPLUS
CN Methanone, [4-amino-2-(4-piperidinylamino)-5-thiazolyl](3-fluorophenyl)-(9CI) (CA INDEX NAME)



RN 874114-53-1 HCAPLUS
CN Methanone, [4-amino-2-(4-piperidinylamino)-5-thiazolyl](3-fluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

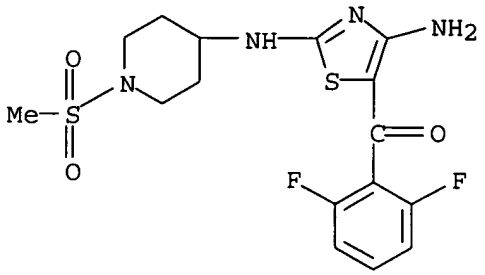


RN 874114-54-2 HCAPLUS
CN Methanone, [4-amino-2-(4-piperidinylamino)-5-thiazolyl](2,3-difluoro-6-methoxyphenyl)- (9CI) (CA INDEX NAME)

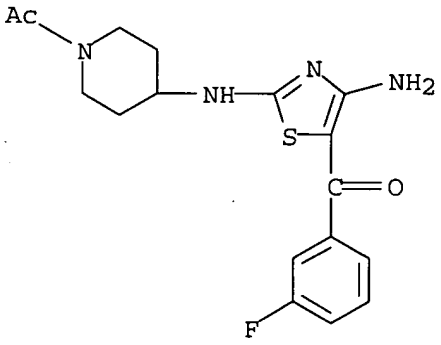


IT **750574-37-9P 874114-55-3P 874114-56-4P**
874114-57-5P 874114-58-6P 874114-59-7P
874114-60-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2,4-diamino-5-benzoylthiazoles as inhibitors of cyclin-dependent kinases for treating cancer)
RN 750574-37-9 HCAPLUS
CN 4-Piperidinamine, N-[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]-1-

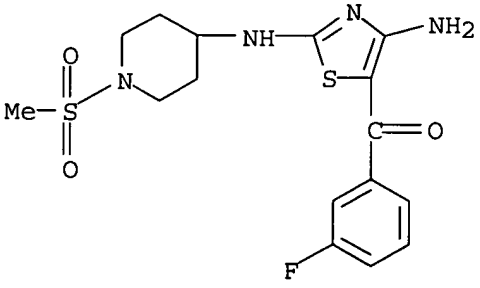
(methylsulfonyl)- (9CI) (CA INDEX NAME)



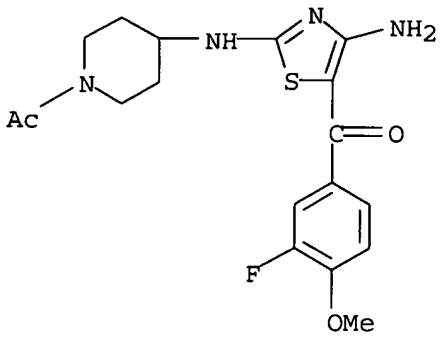
RN 874114-55-3 HCAPLUS
CN 4-Piperidinamine, 1-acetyl-N-[4-amino-5-(3-fluorobenzoyl)-2-thiazolyl]-(9CI) (CA INDEX NAME)



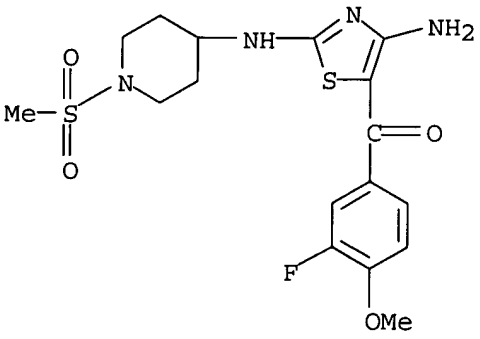
RN 874114-56-4 HCAPLUS
CN 4-Piperidinamine, N-[4-amino-5-(3-fluorobenzoyl)-2-thiazolyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



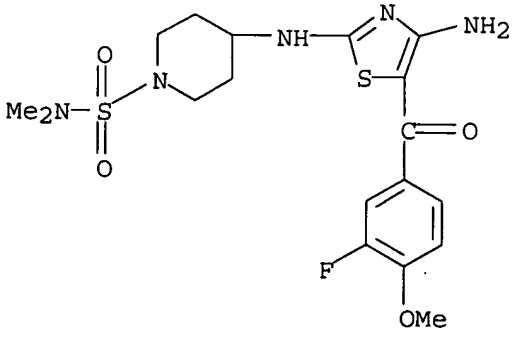
RN 874114-57-5 HCAPLUS
CN 4-Piperidinamine, 1-acetyl-N-[4-amino-5-(3-fluoro-4-methoxybenzoyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



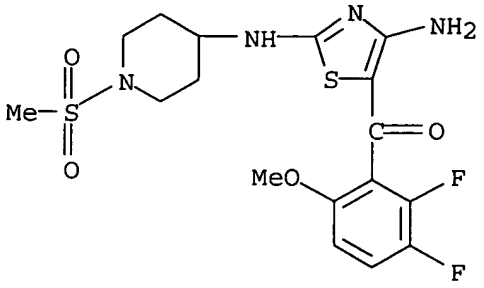
RN 874114-58-6 HCAPLUS
CN 4-Piperidinamine, N-[4-amino-5-(3-fluoro-4-methoxybenzoyl)-2-thiazolyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 874114-59-7 HCAPLUS
CN 1-Piperidinesulfonamide, 4-[[4-amino-5-(3-fluoro-4-methoxybenzoyl)-2-thiazolyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

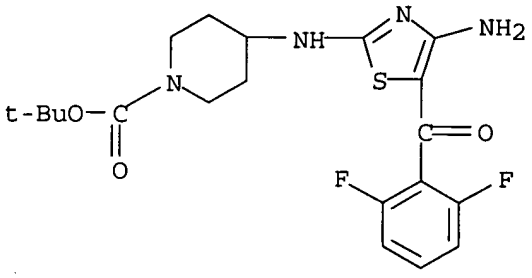


RN 874114-60-0 HCAPLUS
CN 4-Piperidinamine, N-[4-amino-5-(2,3-difluoro-6-methoxybenzoyl)-2-thiazolyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

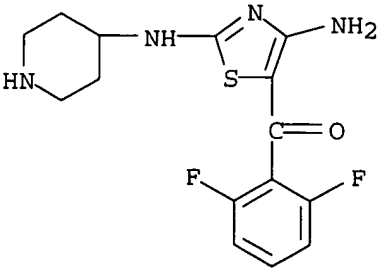


IT **750573-78-5P 874114-62-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel 2,4-diamino-5-benzoylthiazoles as inhibitors of cyclin-dependent kinases for treating cancer)

RN 750573-78-5 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 874114-62-2 HCAPLUS
CN Methanone, [4-amino-2-(4-piperidinylamino)-5-thiazolyl](2,6-difluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



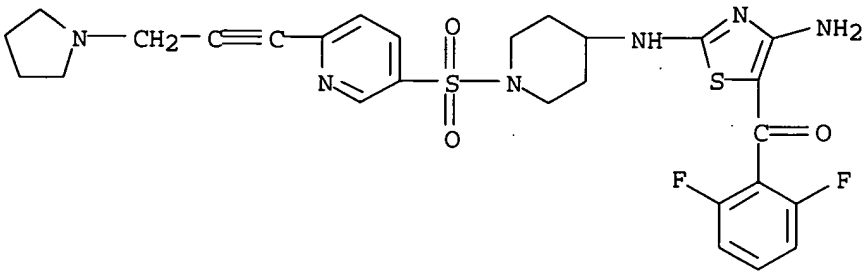
● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

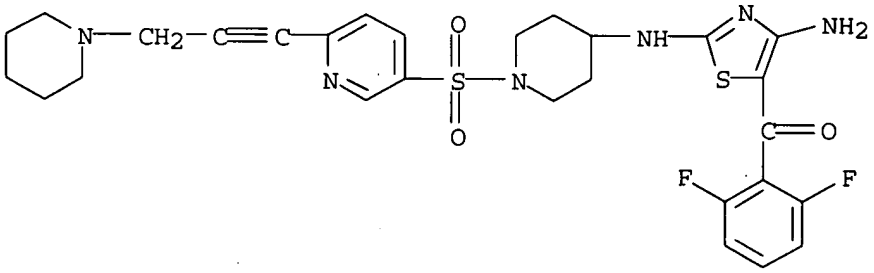
L16 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:718536 HCAPLUS
DOCUMENT NUMBER: 141:243546
TITLE: Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase inhibitors
INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Rommes, William Henry, III; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516234	AA	20040902	CA 2004-2516234	20040209
EP 1597256	A1	20051123	EP 2004-709302	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005101595	A1	20050512	US 2004-783887	20040220
PRIORITY APPLN. INFO.:			US 2003-448843P P	20030221
			WO 2004-IB433 W	20040209

OTHER SOURCE(S): MARPAT 141:243546
GI



RN 750585-16-1 HCAPLUS
CN 4-Piperidinamine, N-[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]-1-[[6-[3-(1-piperidinyl)-1-propynyl]-3-pyridinyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:117812 HCAPLUS
DOCUMENT NUMBER: 138:187762
TITLE: Preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors
INVENTOR(S): Bowler, Andrew Neil; Hansen, Bo Falck
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011843	A1	20030213	WO 2002-DK508	20020722

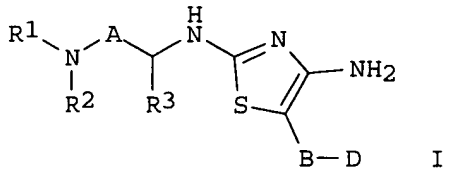
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2455753	AA	20030213	CA 2002-2455753		20020722
EP 1417188	A1	20040512	EP 2002-750845		20020722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002011626	A	20040824	BR 2002-11626		20020722
CN 1547574	A	20041117	CN 2002-816635		20020722
JP 2004538315	T2	20041224	JP 2003-517035		20020722
ZA 2004000733	A	20040824	ZA 2004-733		20040129
US 2004210063	A1	20041021	US 2004-770705		20040203
NO 2004000913	A	20040401	NO 2004-913		20040302
PRIORITY APPLN. INFO.:			DK 2001-1175	A	20010803
			US 2001-309953P	P	20010803
			WO 2002-DK508	W	20020722

OTHER SOURCE(S): MARPAT 138:187762

GI



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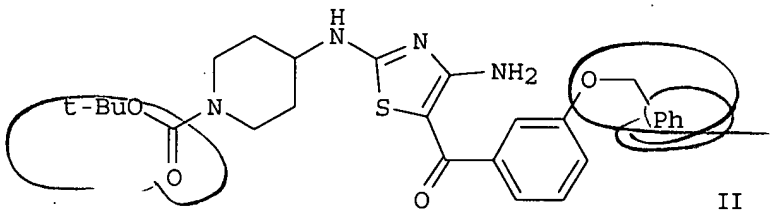


II

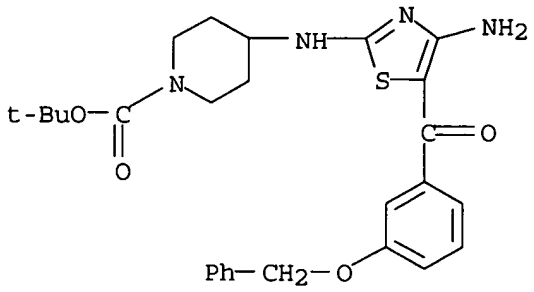
AB The title compds. I [A = a bond, alkylene; NR1R2 = (un)substituted 5-7 membered non-aromatic ring, which may contain a double bond and addnl. N atom; or R1 = H, alkyl, arylalkyl, etc. and R2 and R3 are connected to form , together with A and the N atom and C atom, resp., to which they are attached, a 5-7 membered non-aromatic ring; or R1, R2 = H, CO2alkyl, alkyl, etc.; R3 = H; B = a bond, CO, SO, SO2; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and therefore may be useful for the treatment of disorders, syndromes, diseases and conditions, wherein an inhibition of GSK-3 (glycogen synthase kinase-3) is beneficial, especially IGT (impaired glucose tolerance), type 1 diabetes, type 2 diabetes, obesity, Alzheimer's disease and bipolar disorder, were prepared and formulated. Thus, reacting 1-(3-benzyloxyphenyl)-2-bromoethanone with N-Boc-isothiocyanatopropylamine afforded II which showed IC50 of < 1 μM against GSK-3.

IT **496954-38-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors)

RN 496954-38-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-[3-(phenylmethoxy)benzoyl]-2-

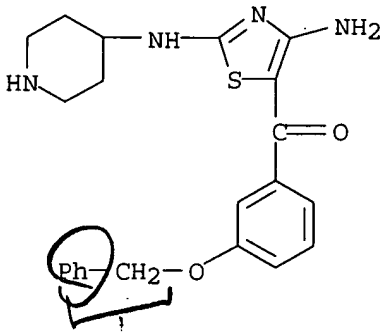
thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **496954-51-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors)
RN 496954-51-9 HCAPLUS
CN Methanone, [4-amino-2-(4-piperidinylamino)-5-thiazolyl][3-(phenylmethoxy)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

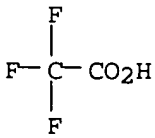
CM 1

CRN 496954-50-8
CMF C22 H24 N4 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l16 ibib abs tot

L16 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:54950 HCAPLUS
DOCUMENT NUMBER: 144:150357
TITLE: Preparation of novel 2,4-diamino-5-benzoylthiazoles as inhibitors of cyclin-dependent kinases for treating cancer
INVENTOR(S): Chen, Li; Chu, Xin-Jie; Lovey, Allen John; Zhao, Chunlin
PATENT ASSIGNEE(S): F.Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006005508	A1	20060119	WO 2005-EP7342	20050707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006014958	A1	20060119	US 2005-170636	20050629
PRIORITY APPLN. INFO.:			US 2004-588184P P	20040715
OTHER SOURCE(S):	MARPAT 144:150357			

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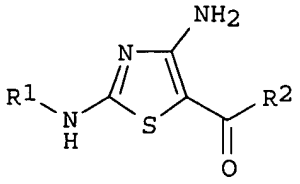

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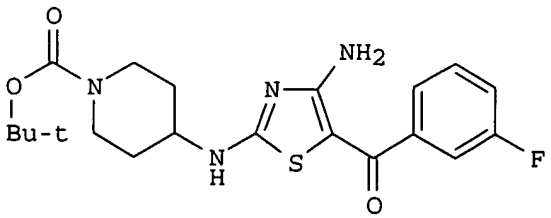

II

AB The title compds. I [R1 = alkyl substituted by aryl, 1-(un)substituted 4-piperidinyl, (un)substituted Ph; R2 = (hetero)aryl, cycloalkyl, heterocyclyl, etc.] which inhibit cyclin-dependent kinases, were prepared and formulated. E.g., a multi-step synthesis of II, starting from tert-Bu 4-aminopiperidine-1-carboxylate, was given. The compds. I exhibited cdk4 activity with Ki values of less than 3 μM, preferably less than 0.5 μM; cdk2 activity with Ki values of less than 8 μM, preferably less than 0.5 μM, and cdk1 activity with Ki values of less than 10 μM, preferably less than 0.5 μM. Compds. I and their pharmaceutically acceptable salts and esters have antiproliferative activity and are useful in the treatment or control of cancer, in particular solid tumors. This invention is also directed to pharmaceutical compns. containing such compds. I and to methods of treating or controlling cancer, most particularly the treatment or control of breast, lung, colon and prostate tumors. Also disclosed are intermediates useful in the preparation of these novel 4-aminothiazole derivs.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:718536 HCAPLUS
DOCUMENT NUMBER: 141:243546
TITLE: Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase inhibitors
INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines, William Henry, III; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004074283	A1	20040902	WO 2004-IB433		20040209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2516234	AA	20040902	CA 2004-2516234		20040209
EP 1597256	A1	20051123	EP 2004-709302		20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2005101595	A1	20050512	US 2004-783887		20040220
PRIORITY APPLN. INFO.:			US 2003-448843P	P	20030221
			WO 2004-IB433	W	20040209

OTHER SOURCE(S): MARPAT 141:243546
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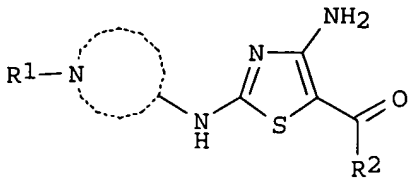

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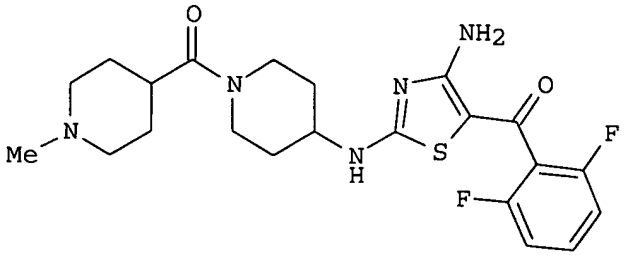

II

AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocyclyl = (un)substituted N-containing 3-10 membered heterocyclyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocyclyl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl](2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46 μM against CDK2, Ki of 0.13 μM against CDK4, and IC50 of >5 μM in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:117812 HCAPLUS
DOCUMENT NUMBER: 138:187762
TITLE: Preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors
INVENTOR(S): Bowler, Andrew Neil; Hansen, Bo Falck
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011843	A1	20030213	WO 2002-DK508	20020722

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2455753	AA	20030213	CA 2002-2455753		20020722
EP 1417188	A1	20040512	EP 2002-750845		20020722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002011626	A	20040824	BR 2002-11626		20020722
CN 1547574	A	20041117	CN 2002-816635		20020722
JP 2004538315	T2	20041224	JP 2003-517035		20020722
ZA 2004000733	A	20040824	ZA 2004-733		20040129
US 2004210063	A1	20041021	US 2004-770705		20040203
NO 2004000913	A	20040401	NO 2004-913		20040302
PRIORITY APPLN. INFO.:			DK 2001-1175	A	20010803
			US 2001-309953P	P	20010803
			WO 2002-DK508	W	20020722

OTHER SOURCE(S): MARPAT 138:187762
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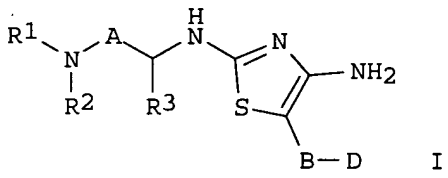

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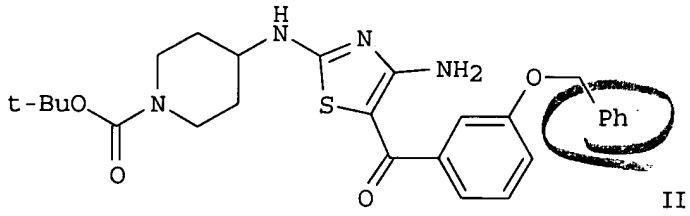

II

AB The title compds. I [A = a bond, alkylene; NR1R2 = (un)substituted 5-7 membered non-aromatic ring, which may contain a double bond and addnl. N atom; or R1 = H, alkyl, arylalkyl, etc. and R2 and R3 are connected to form , together with A and the N atom and C atom, resp., to which they are attached, a 5-7 membered non-aromatic ring; or R1, R2 = H, CO2alkyl, alkyl, etc.; R3 = H; B = a bond, CO, SO, SO2; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and therefore may be useful for the treatment of disorders, syndromes, diseases and conditions, wherein an inhibition of GSK-3 (glycogen synthase kinase-3) is beneficial, especially IGT (impaired glucose tolerance), type 1 diabetes, type 2 diabetes, obesity, Alzheimer's disease and bipolar disorder, were prepared and formulated. Thus, reacting 1-(3-benzyloxyphenyl)-2-bromoethanone with N-Boc-isothiocyanatopropylamine afforded II which showed IC50 of < 1 μM against GSK-3.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l17 ibib abs tot

L17 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:170742 HCAPLUS
DOCUMENT NUMBER: 144:254120
TITLE: Preparation of thiophene and thiazole derivatives as PDE4B inhibitors
INVENTOR(S): Ibrahim, Prabha N.; Cho, Hanna; England, Bruce; Gillette, Sam; Artis, Dean R.; Zuckerman, Rebecca; Zhang, Chao
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 205 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006041006	A1	20060223	US 2005-123893		20050506
PRIORITY APPLN. INFO.:			US 2004-569435P	P	20040506

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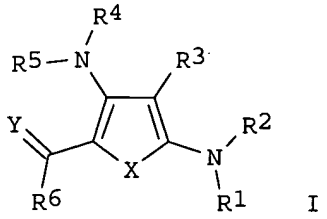
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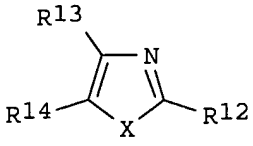
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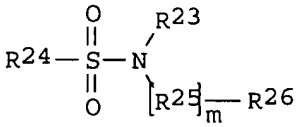
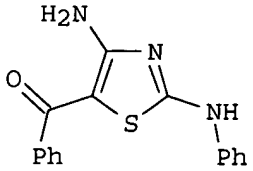

III


IV

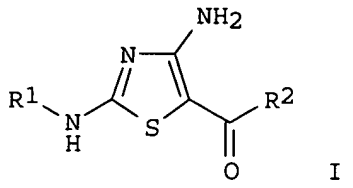
AB The title compds. I [X = O, S, NR7; R1-R2, R4-R5, R7 = H, acyl, alkyl, etc.; R3 = CN, NO2, alkyl, etc.; Y = O, S; R6 = OH, alkoxy, thioalkoxy, etc.], II [X = S, O, NR15; R12 = H, alkyl, aryl, etc.; R13 = OR16, SR16, (un)substituted amino; R14 = OR16, SR16, alkyl, etc.; R15 = H, alkyl, cycloalkyl, etc.; R16 = alkyl, cycloalkyl, aryl, etc.] and III [R23 = H, alkyl, cycloalkyl, etc.; R24 = alkyl, cycloalkyl, aryl, etc.; R25, if present, is (un)substituted alkylene; R26 = (un)substituted carbocyclic or heterocyclic having 3-14 ring atoms; m = 0-3; with provisions] which are active on phosphodiesterase PDE4B are provided. E.g., a multi-step

synthesis of IV, starting from 2-(4-chlorobenzyl)-2-thiopseudourea hydrochloride and Ph isothiocyanate, was given. The compound I-III were tested against various PDE4 kinases and TNFα (biol. data given). Also provided are compns. comprising compds. I-III which are useful for treatment of PDE4B-mediated diseases or conditions, and methods for the use thereof.

L17 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:54950 HCAPLUS
DOCUMENT NUMBER: 144:150357
TITLE: Preparation of novel 2,4-diamino-5-benzoylthiazoles as inhibitors of cyclin-dependent kinases for treating cancer
INVENTOR(S): Chen, Li; Chu, Xin-Jie; Lovey, Allen John; Zhao, Chunlin
PATENT ASSIGNEE(S): F.Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006005508	A1	20060119	WO 2005-EP7342	20050707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006014958	A1	20060119	US 2005-170636	20050629
PRIORITY APPLN. INFO.:			US 2004-588184P P	20040715

OTHER SOURCE(S): MARPAT 144:150357
GI



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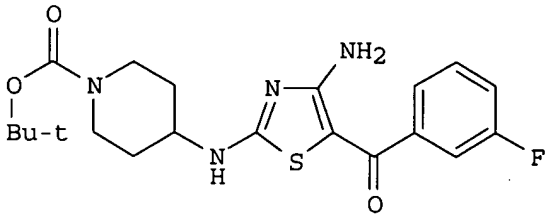

II

AB The title compds. I [R1 = alkyl substituted by aryl, 1-(un)substituted 4-piperidinyl, (un)substituted Ph; R2 = (hetero)aryl, cycloalkyl, heterocyclyl, etc.] which inhibit cyclin-dependent kinases, were prepared and formulated. E.g., a multi-step synthesis of II, starting from tert-Bu 4-aminopiperidine-1-carboxylate, was given. The compds. I exhibited cdk4 activity with Ki values of less than 3 μM, preferably less than 0.5 μM; cdk2 activity with Ki values of less than 8 μM, preferably less than 0.5 μM, and cdk1 activity with Ki values of less than 10 μM, preferably less than 0.5 μM. Compds. I and their pharmaceutically acceptable salts and esters have antiproliferative activity and are useful in the treatment or control of cancer, in particular solid tumors. This invention is also directed to pharmaceutical compns. containing such compds. I and to methods of treating or controlling cancer, most particularly the treatment or control of breast, lung, colon and prostate tumors. Also disclosed are intermediates useful in the preparation of these novel 4-aminothiazole derivs.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:612019 HCAPLUS
DOCUMENT NUMBER: 143:92536
TITLE: Preparation of 2,4-diaminothiazole derivatives as plant growth regulators
INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel, Nathalie; Martelletti, Arianna; Rees, Richard; Schwall, Michael; Whitford, Ryan
PATENT ASSIGNEE(S): Bayer Cropscience G.m.b.H., Germany
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063022	A1	20050714	WO 2004-EP14262	20041215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

EP 1550372 A1 20050706 EP 2003-29844 20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: EP 2003-29844 A 20031224
EP 2004-11253 A 20040512
OTHER SOURCE(S): MARPAT 143:92536
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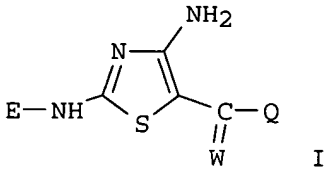

I

AB The 2,4-diaminothiazole derivs. I [E = (un)substituted alkyl, alkenyl, alkynyl, furfuryl, isoxazolyl, etc.; W =, O, NOH. etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, aryl, etc.] are prepared as plant growth regulators.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:582483 HCAPLUS
DOCUMENT NUMBER: 143:73303
TITLE: Preparation of 2,4-diaminothiazole derivatives as plant growth regulators
INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel, Nathalie; Martelletti, Arianna; Rees, Richard; Schwall, Michael; Whitford, Ryan
PATENT ASSIGNEE(S): Bayer CropScience G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1550372	A1	20050706	EP 2003-29844	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2005063022	A1	20050714	WO 2004-EP14262	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-29844 A 20031224
EP 2004-11253 A 20040512

OTHER SOURCE(S): MARPAT 143:73303
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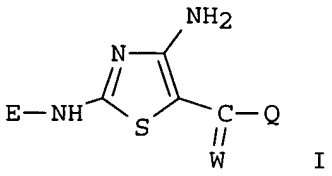


I

AB The 2,4-diamino-5-substituted-thiazole derivs. I [E = alkyl, alkenyl, alkynyl, alkoxycarbonyl, Ph, pyridinyl, etc.; W = O, NOH, etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, etc.] are prepared as plant growth regulators.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:140809 HCAPLUS
DOCUMENT NUMBER: 142:240423
TITLE: A preparation of antiproliferative 2-(heteroaryl)aminothiazole derivatives
INVENTOR(S): Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li, Lin; Yang, Yi
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038078	A1	20050217	US 2003-639219	20030811
US 2005176773	A1	20050811	US 2005-105939	20050413

PRIORITY APPLN. INFO.: US 2003-639219 A3 20030811
OTHER SOURCE(S): MARPAT 142:240423
GI

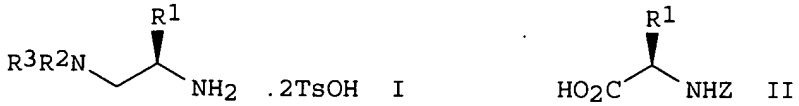
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

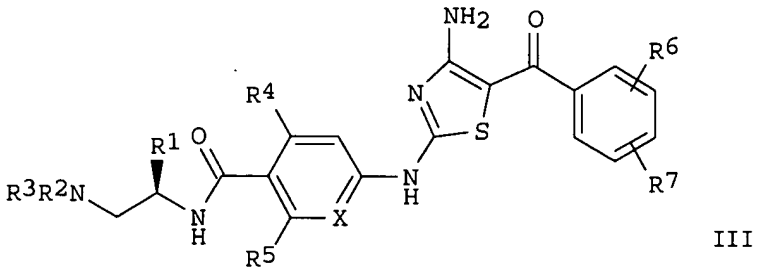
AB The invention relates to a preparation of 2-(heteroaryl)aminothiazole derivs. of formula I [wherein: R1 is H, alk(en/yn)yl, alkylamino, aryl, or cycloalkyl; R2 and R5 are independently selected from H, halogen, alkyl, NH2, SMe, or NO2, etc.; R3 and R4 are independently selected from H, halogen, methoxy, or alkyl], useful as antiproliferative agents. For instance, nicotinamide derivative II (inhibition of HCT-116 cell growth: IC50 = 0.007 μM) was prepared via amidation of nicotinic acid derivative III by (N-methyl-pyrrolidin-2S-yl)methylamine with a yield of 60%.

L17 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:99173 HCAPLUS
DOCUMENT NUMBER: 142:197575
TITLE: Process for preparation of chiral 1,2-diaminopropanes and thiazole compounds containing them.
INVENTOR(S): Kucera, David John; Yvon, Brigitte Leigh
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026966	A1	20050203	US 2003-631358	20030730
PRIORITY APPLN. INFO.:			US 2003-631358	20030730

OTHER SOURCE(S): CASREACT 142:197575; MARPAT 142:197575
GI





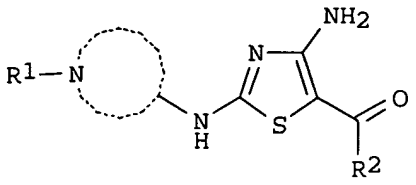
AB Title compds. [I; R1-R3 = H, (substituted) alkyl, heteroalkyl, (CR13R14)tX; t = 1-5; X = aryl, cycloalkyl, heterocyclyl; R13, R14 = H, alkyl, heteroalkyl], were prepared by treatment of amino acid derivs. (II) with R2R3NH (R1-R3 as above) to give the corresponding amides followed by N-deprotection, reduction, and conversion to the tosylate salts. I are intermediates in preparation of thiazole derivs. (III; R1-R3 as above; R4, R5 = H, halo, alkyl, OMe, OH, NH2, NHMe, NMe2, NO2, SH, SMe, SOMe, SO2Me, PMe2, PO3H2; R6, R7 = H, halo, MeO, alkyl; X = C, N). Thus, Z-D-Ala-OH and HOBt.H2O in MeCN at -3° were treated with DCC in MeCN and then with Me2NH.HCl and diisopropylethylamine followed by stirring at 0° for

1.5 h, warming to room temperature, and stirring overnight to give 79% N-benzyloxycarbonyl-D-alanine dimethylamide. The latter was hydrogenolyzed in EtOH over Pd/C at 45 psi H2 to give 83% D-alanine dimethylamide. This was refluxed 17 h with LiAlH4 in THF followed by salification with p-TsOH to give 69.5% (R)-1-dimethylaminoprop-2-ylamine. bistosylate.

L17 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:718536 HCAPLUS
DOCUMENT NUMBER: 141:243546
TITLE: Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase inhibitors
INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines, William Henry, III; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516234	AA	20040902	CA 2004-2516234	20040209
EP 1597256	A1	20051123	EP 2004-709302	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005101595	A1	20050512	US 2004-783887	20040220
PRIORITY APPLN. INFO.:			US 2003-448843P P	20030221
			WO 2004-IB433 W	20040209

OTHER SOURCE(S): MARPAT 141:243546
GI



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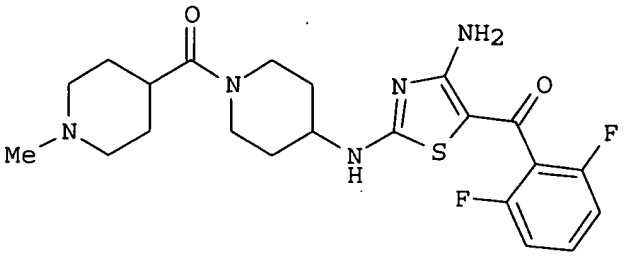


II

AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocyclyl = (un)substituted N-containing 3-10 membered heterocyclyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocyclyl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl](2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46 μM against CDK2, Ki of 0.13 μM against CDK4, and IC50 of >5 μM in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:143146 HCAPLUS
DOCUMENT NUMBER: 140:181441
TITLE: Preparation of antiproliferative 2-(pyridylamino)thiazole compounds
INVENTOR(S): Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li, Lin; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

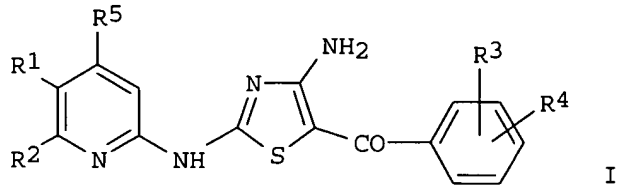
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014904	A1	20040219	WO 2003-IB3181	20030729

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003282231	A1	20040225	AU 2003-282231	20030729
PRIORITY APPLN. INFO.:			US 2002-402408P	P 20020809
			WO 2003-IB3181	W 20030729

OTHER SOURCE(S): MARPAT 140:181441
GI



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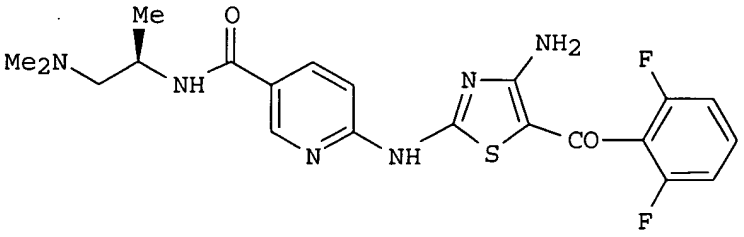

II

AB Thiazole derivs. of formula I [R1 = H, alkenyl, alkylamino, aryl, heteroaryl, cycloalkyl, etc.; R2, R5 = H, halo, alkyl, OMe, OH, amino, SH, SMe, etc.; R3, R4 = H, halo, OMe, alkyl] are prepared The compds. and pharmaceutical compns. containing them may be used in inhibiting and/or modulating protein kinases, in treating or preventing diseases associated with protein kinases, and/or in treating or preventing cellular proliferative diseases. Thus, II was prepared, and had IC50 and IC90 of 0.0026 and 0.0057 μM resp. against HCT-116 cells.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2003:261970 HCAPLUS
DOCUMENT NUMBER:	138:281150
TITLE:	Inhibitors of glycogen synthase kinase-3 for treating glaucoma
INVENTOR(S):	Hellberg, Mark R.; Clark, Abbot F.; Pang, Iok-Hou; Hellberg, Peggy Elizabeth; McNatt, Loretta Graves; Wang, Wan-Heng
PATENT ASSIGNEE(S):	Alcon, Inc., Switz.
SOURCE:	PCT Int. Appl., 35 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027275	A1	20030403	WO 2002-US30059	20020923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2460000	AA	20030403	CA 2002-2460000	20020923
EP 1430120	A1	20040623	EP 2002-799603	20020923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012924	A	20050104	BR 2002-12924	20020923
JP 2005504101	T2	20050210	JP 2003-530847	20020923
US 2004186159	A1	20040923	US 2004-488496	20040302
ZA 2004001846	A	20050307	ZA 2004-1846	20040305
JP 2005320350	A2	20051117	JP 2005-211956	20050721
PRIORITY APPLN. INFO.:			US 2001-325390P	P 20010927
			JP 2003-530847	A3 20020923
			WO 2002-US30059	W 20020923

OTHER SOURCE(S): MARPAT 138:281150

AB The use of inhibitors of glycogen synthase kinase-3 (GSK-3) useful for treating glaucoma is disclosed. The inhibitors are selected from the group consisting of indirubine analogs, 2,4-diaminothiazole analogs, 1,2,4-triazolecarboxylic acid derivs. or analogs, hymenialdesine or derivs. or analogs, and paullone analogs. Preferred inhibitors comprise 3-(1-[3-aminopropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione and 3-(1-[3-hydroxypropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione. The compds. are formulated in pharmaceutical compns. suitable for topical delivery to the eye.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:117812 HCAPLUS
DOCUMENT NUMBER: 138:187762
TITLE: Preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors
INVENTOR(S): Bowler, Andrew Neil; Hansen, Bo Falck
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011843	A1	20030213	WO 2002-DK508	20020722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2455753	AA	20030213	CA 2002-2455753		20020722
EP 1417188	A1	20040512	EP 2002-750845		20020722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002011626	A	20040824	BR 2002-11626		20020722
CN 1547574	A	20041117	CN 2002-816635		20020722
JP 2004538315	T2	20041224	JP 2003-517035		20020722
ZA 2004000733	A	20040824	ZA 2004-733		20040129
US 2004210063	A1	20041021	US 2004-770705		20040203
NO 2004000913	A	20040401	NO 2004-913		20040302
PRIORITY APPLN. INFO.:			DK 2001-1175	A	20010803
			US 2001-309953P	P	20010803
			WO 2002-DK508	W	20020722

OTHER SOURCE(S): MARPAT 138:187762

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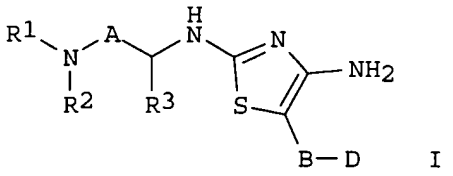

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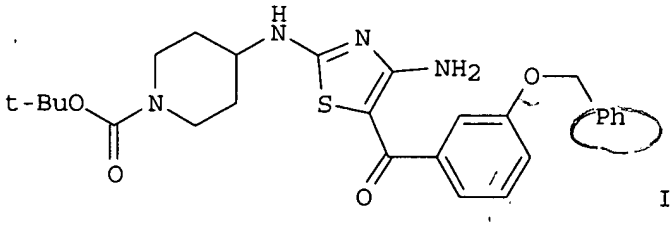

II

AB The title compds. I [A = a bond, alkylene; NR1R2 = (un)substituted 5-7 membered non-aromatic ring, which may contain a double bond and addnl. N atom; or R1 = H, alkyl, arylalkyl, etc. and R2 and R3 are connected to form , together with A and the N atom and C atom, resp., to which they are attached, a 5-7 membered non-aromatic ring; or R1, R2 = H, CO2alkyl, alkyl, etc.; R3 = H; B = a bond, CO, SO, SO2; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and therefore may be useful for the treatment of disorders, syndromes, diseases and conditions, wherein an inhibition of GSK-3 (glycogen synthase kinase-3) is beneficial, especially IGT (impaired glucose tolerance), type 1 diabetes, type 2 diabetes, obesity, Alzheimer's disease and bipolar disorder, were prepared and formulated. Thus, reacting 1-(3-benzyloxyphenyl)-2-bromoethanone with N-Boc-isothiocyanatopropylamine afforded II which showed IC50 of < 1 μM against GSK-3.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:42245 HCAPLUS
DOCUMENT NUMBER: 138:106689
TITLE: Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases
INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li, Lin; Reich, Siegfried H.; Romines, William H.; Wallace, Michael B.; Yang, Yi
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705
WO 2003004467	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2452609	AA	20030116	CA 2002-2452609	20020705
US 2003225147	A1	20031204	US 2002-190219	20020705
US 6720346	B2	20040413		
EP 1438046	A2	20040721	EP 2002-782499	20020705
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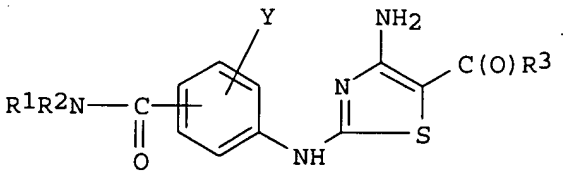
JP 2005521631	T2	20050721	JP 2003-510635	20020705

PRIORITY APPLN. INFO.:			
	US 2001-303679P	P	20010706
	US 2001-305274P	P	20010713
	WO 2002-US21280	W	20020705

OTHER SOURCE(S): MARPAT 138:106689
GI



I

AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their

pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with ≥1 substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with ≥1 substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO2, -NH2, -N-OH, N-ORc, -CN, -(CH2)z-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO2-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO3, -NRc-SRd, -NRc-SO-Rd, NRc-SO2-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO2-Rc, -CS-Rc, -CSO-R, -CSO2-R,, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO2-Re, -OS2-NRdRe, -SO-NRdRe, -S-NRdRe, -NRd-CSO2-Rd, - NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO2-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example prepns. of I are included and directions are given for combinatorial preparation of 396 I.

L17 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:581702 HCAPLUS
DOCUMENT NUMBER: 135:166823
TITLE: Preparation of 2,4-diaminothiazoles as GSK-3 inhibitors
INVENTOR(S): Bowler, Andrew Neil; Olesen, Preben Houlberg; Sorensen, Anders Robert; Hansen, Bo Falck; Worsaae, Helle; Kurtzhals, Peter
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056567	A1	20010809	WO 2001-DK73	20010201

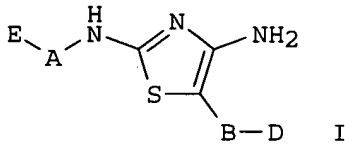
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001039275	A1	20011108	US 2001-774900	20010131
PRIORITY APPLN. INFO.:			DK 2000-187	A 20000204
			US 2000-183518P	P 20000218

OTHER SOURCE(S): MARPAT 135:166823

GI



I

AB The title compds. [I; E = alkyl, alkenyl, alkoxy, etc.; A = a bond, alkylene, CO; B = a bond, CO, SO, etc.; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and which are useful for the treatment and/or prevention disorders and diseases wherein an inhibition of GSK-3 is beneficial, especially especially Alzheimer's disease, bipolar disorder, IGT (impaired glucose tolerance), Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. Thus, reacting 2-bromo-1-cyclopropylethanone with 1-phenyl-3-guanylthiourea afforded I [E = Ph; A = a bond; B = CO; D = cyclopropyl] which showed IC50 of < 5 μM against GSK-3.

L17 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

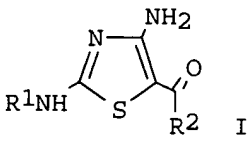
ACCESSION NUMBER:	1999:297411 HCAPLUS
DOCUMENT NUMBER:	130:325142
TITLE:	Preparation of 4-aminothiazole derivatives as inhibitors of cyclin-dependent kinases
INVENTOR(S):	Chong, Wesley K. M.; Chu, Shao Song; Duvadie, Rohit R.; Li, Lin; Xiao, Wei; Yang, Yi
PATENT ASSIGNEE(S):	Agouron Pharmaceuticals, Inc., USA
SOURCE:	PCT Int. Appl., 172 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921845	A2	19990506	WO 1998-US22809	19981027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306082	AA	19990506	CA 1998-2306082	19981027
AU 9913664	A1	19990517	AU 1999-13664	19981027
AU 738792	B2	20010927		

TR 200001081	T2	20001023	TR 2000-200001081	19981027
EP 1056732	A2	20001206	EP 1998-957393	19981027
EP 1056732	B1	20060111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
SI 20324	C	20010228	SI 1998-20068	19981027
EE 200000289	A	20010615	EE 2000-200000289	19981027
BR 9815200	A	20011016	BR 1998-15200	19981027
EP 1215208	A2	20020619	EP 2002-1881	19981027
EP 1215208	A3	20020904		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 503788	A	20021126	NZ 1998-503788	19981027
US 6569878	B1	20030527	US 1998-179744	19981027
NZ 517419	A	20030829	NZ 1998-517419	19981027
JP 2004500304	T2	20040108	JP 2000-517957	19981027
RO 119463	B1	20041130	RO 2000-423	19981027
AT 315553	E	20060215	AT 1998-957393	19981027
NO 2000001955	A	20000616	NO 2000-1955	20000414
LT 4855	B	20011126	LT 2000-33	20000414
HR 2000000222	A1	20010228	HR 2000-222	20000417
MX 200003812	A	20001113	MX 2000-3812	20000418
LV 12592	B	20010720	LV 2000-51	20000503
BG 104478	A	20010228	BG 2000-104478	20000526
BG 64195	B1	20040430		
US 2003220326	A1	20031127	US 2003-388851	20030313
PRIORITY APPLN. INFO.:			US 1997-63634P P	19971027
			US 1997-63666P P	19971028
			EP 1998-957393 A3	19981027
			NZ 1998-503788 A1	19981027
			US 1998-179744 A3	19981027
			WO 1998-US22809 W	19981027

OTHER SOURCE(S): MARPAT 130:325142

GI



I

AB Title compds. [I; wherein R1 is a (un)substituted group selected from: alkyl, alkenyl, alkoxyl, alc., carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl, etc.; R2 is a carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, ring structure having a substituent at the position adjacent to the point of attachment, which ring structure is optionally further substituted, where each substituent of R independently is a halogen, haloalkyl, C-alkyl, C-alkenyl, C-alkynyl, hydroxyl, C-alkoxyl, amino, nitro, thiol, thioether, imine, cyano, amido, phosphonato, phosphine, carboxyl, thiocarbonyl, sulfonyl, sulfonamide, ketone, aldehyde, ester, oxygen, carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; or carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl], a pharmaceutically acceptable salt, a prodrug, pharmaceutically active metabolite of title compound, or

pharmaceutically acceptable salt thereof, are prepared as inhibitors of cyclin-dependent kinases (CDKs: CDK1, CDK2, CDK4, and CDK6) to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds. and to methods of treating malignancies and other disorders by administering effective amts. of such compds. Thus, I (R1 = C6H5; R2 = 3-NO2C6H4) was prepared with 52% yield from cyanamide, isothiocyanate, and 2-bromo-3'-nitroacetophenone in the presence of sodium.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	84.47	872.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.25	-27.75

STN INTERNATIONAL LOGOFF AT 13:44:08 ON 02 APR 2006